

Access DB#

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: PATEL SUDHAKER Examiner #: 77018 Date: 7/13/97
 Art Unit: 124 Phone Number 301 4769 Serial Number: 09652850
 Mail Box and Bldg/Room Location: CM1 4E17 Results Format Preferred (circle): PAPER DISK E-MAIL now

If more than one search is submitted, please prioritize searches in order of need.

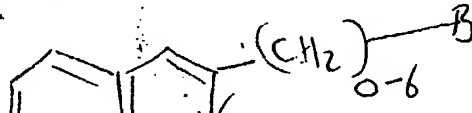
 Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: CONDENSED PYRIDINE COMPOUNDS

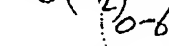
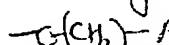
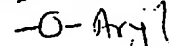
Inventors (please provide full names): KOHSHI UENO et al.

Earliest Priority Filing Date: 10/21/977

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



B =



Het = Heterocycle

Point of Contact

Susan Hanley

Technical Info. Specialist

CM1 12C14 Tel: 305-4053

STAFF USE ONLY

Searcher: Hanley

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: 7/30Date Completed: 8/5

Searcher Prep & Review Time: _____

Clerical Prep Time: _____

Online Time: _____

Type of Search

NA Sequence (#) _____

AA Sequence (#) _____

Structure (#) 1

Bibliographic _____

Litigation _____

Fulltext _____

Patent Family _____

Other _____

Vendors and cost where applicable

STN

Dialog _____

Questel/Orbit _____

Dr. Link _____

Lexis/Nexis _____

Sequence Systems _____

WWW/Internet _____

Other (specify) _____

=> d his

(FILE 'HOME' ENTERED AT 15:53:05 ON 05 AUG 2001)

FILE 'HCAPLUS' ENTERED AT 15:53:30 ON 05 AUG 2001

L1 2737 S UENO K?/AU
 L2 1667 S SASAKI A?/AU
 L3 879 S KAWANO K?/AU
 L4 1166 S OKABE T?/AU
 L5 96 S KITAZAWA N?/AU
 L6 14232 S TAKAHASHI K?/AU
 L7 0 S YAMAOTO N?/AU
 L8 12859 S SUZUKI Y?/AU
 L9 660 S MATSUNAGA M?/AU
 L10 392 S KUBOTA A?/AU
 L11 34556 S L1-10
 L12 1 S L11 AND CONDENSED PYRIDINE
 L13 309 S L11 AND PYRIDINE
 L14 57 S L11 AND PYRIDINE/TI
 L15 13 S L14 AND PATENT/DT
 SELECT RN L15 1

- inventn search

FILE 'REGISTRY' ENTERED AT 15:58:48 ON 05 AUG 2001

L16 38 S E1-38

FILE 'HCAPLUS' ENTERED AT 15:59:10 ON 05 AUG 2001

L17 1 S L15 AND L16

1 cite w/ 38 compounds displayed

FILE 'REGISTRY' ENTERED AT 16:00:58 ON 05 AUG 2001

L18 STR

L19 50 S L18

L20 1960 S L18 FUL parent search

SAVE L20 PAT850P/A

L21 STR L18

L22 50 S L21 SSS SAM SUB=L20

L23 826 S L21 SSS FUL SUB=L20

826 cpds in subset search

SAVE L23 PAT850S1/A

L24 15 S L16 AND L23

15 cpds from L23 are in appl. work (L17)

FILE 'HCAPLUS' ENTERED AT 16:12:54 ON 05 AUG 2001

L25 2 S L24

L26 1 S L25 NOT L17

1 cite w/ appl. compounds

L27 44 S L23

L28 42 S L27 NOT L25

L29 40 S L28 AND PY<1999

L30 35 S L29 AND PY<1998

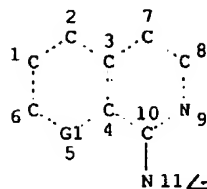
35 cites w/ PY < 1998

L31 7 S L28 NOT L30

 ← 1 cite is a patent with an earlier
 priority date

=> d que 127
L18

STR *parent search*

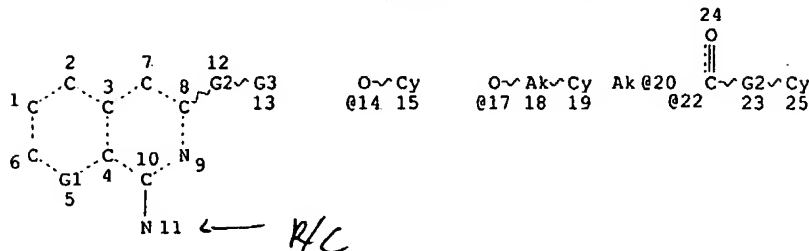


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NSPEC IS RC AT 11
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE
L20 1960 SEA FILE=REGISTRY SSS FUL L18
L21 STR

subset search



VAR G1=CH/N
REP G2=(0-6) CH2
VAR G3=CY/14/17/20/22
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CONNECT IS E1 RC AT 20
DEFAULT MLEVEL IS ATOM
GGCAT IS LIN AT 18
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE
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L27 44 SEA FILE=HCAPLUS ABB=ON PLU=ON L23

=> d bib abs hitstr

L17 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2001 ACS

AN 2000:277851 HCAPLUS

DN 132:313677

TI Analgesics containing 1-(1-phenethylpiperidin-4-yl)indole,
1-(piperazin-1-yl)-3-phenylisoquinoline, or 4-(piperazin-1-yl)-6-
phenylthieno[3,2-c]pyridine derivatives

IN Ueno, Kohshi; Sasaki, Atsushi; Kitazawa,
Noritaka; Kawano, Koki; Okabe, Tadashi;
Takahashi, Keiko; Matsunaga, Manabu; Shinoda, Yukie

PA Eisai Co., Ltd., Japan

SO PCT Int. Appl., 29 pp.

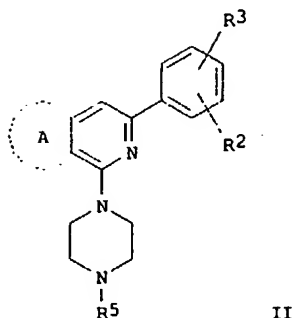
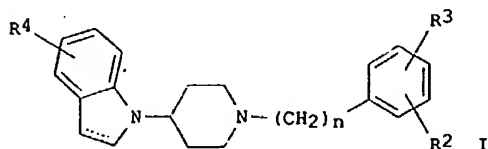
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 2000023075 | A1 | 20000427 | WO 1999-JP5761 | 19991019 |
| | W: CA, CN, KR, US | | | | |
| | RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | JP 2000191533 | A2 | 20000711 | JP 1999-296106 | 19991019 |
| PRAI | JP 1998-296681 | A | 19981019 | | |
| OS | MARPAT 132:313677 | | | | |
| GI | | | | | |



AB Novel analgesics for various diseases such as headache and migraine and pain and ache in assocn. with trauma, phys. compression, etc. are described. These analgesics, which are useful for the prevention, treatment, or improvement of pains in humans, contain as the active ingredient benzene derivs. represented by general formula (I or II) or pharmacol. acceptable salts thereof (wherein R_2 , R_3 = H, halo, lower alkyl, lower alkoxy, cyano, lower hydroxyalkyl, lower hydroxyalkoxy, N-lower alkylamino, lower alkylsulfonylaminoalkyl; R_4 = lower acylaminoalkyl, amido-lower alkyl, N-lower alkylamino-alkyl; n = 0, 1-3; R_5 = lower alkyl, hydroxy-lower alkyl; the ring A represents a benzene or thiophene ring). I and II s.c. showed analgesic activity equal to or greater than that of morphine hydrochloride in acetic acid-induced

writhing assay in mice. They were also tested for the binding activity to serotonin (5HT) receptor as well as muscle relaxant activity.

IT 214611-53-7 214613-26-0 214613-27-1
214613-33-9 214613-49-7 214613-83-9
214613-84-0 214613-89-5 214613-90-8
214618-14-1 223540-38-3 223540-56-5
223540-84-9 223540-90-7 223541-70-6
223542-28-7 223542-29-8 223546-94-9
223546-95-0 223547-08-8 223547-11-3
223547-20-4 223547-21-5 223547-40-8
223547-42-0 223551-27-7 223551-30-2
223557-26-4 265667-20-7 265667-21-8
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265667-25-2 265667-26-3 265667-27-4
265667-28-5 265667-35-4

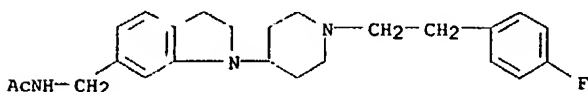
RL: BAC (Biological activity or effector, except adverse); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(analgesics contg. 1-(1-phenethylpiperidin-4-yl)indole,
1-(piperazin-1-yl)-3-phenylisoquinoline, or 4-(piperazin-1-yl)-6-
phenylthieno[3,2-c]pyridine derivs.)

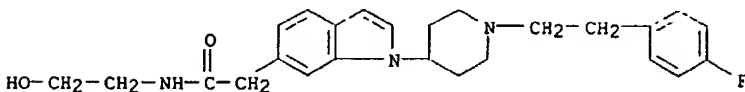
RN 214611-53-7 HCAPLUS

CN Acetamide, N-[[1-[1-(2-(4-fluorophenyl)ethyl)-4-piperidinyl]-2,3-dihydro-1H-indol-6-yl]methyl]- (9CI) (CA INDEX NAME)



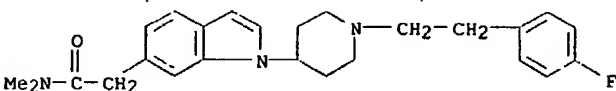
RN 214613-26-0 HCAPLUS

CN 1H-Indole-6-acetamide, 1-[1-(2-(4-fluorophenyl)ethyl)-4-piperidinyl]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



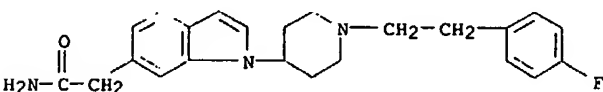
RN 214613-27-1 HCAPLUS

CN 1H-Indole-6-acetamide, 1-[1-(2-(4-fluorophenyl)ethyl)-4-piperidinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



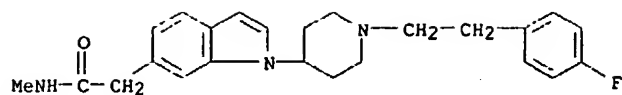
RN 214613-33-9 HCAPLUS

CN 1H-Indole-6-acetamide, 1-[1-(2-(4-fluorophenyl)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

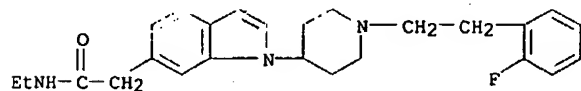


RN 214613-49-7 HCAPLUS

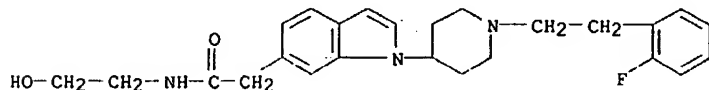
CN 1H-Indole-6-acetamide, 1-[1-(2-(4-fluorophenyl)ethyl)-4-piperidinyl]-N-methyl- (9CI) (CA INDEX NAME)



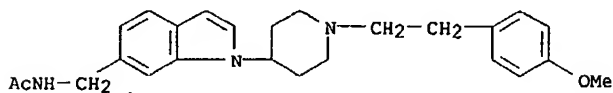
RN 214613-83-9 HCAPLUS
CN 1H-Indole-6-acetamide, N-ethyl-1-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



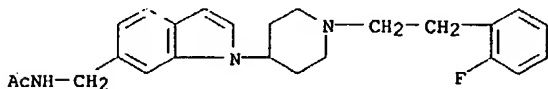
RN 214613-84-0 HCAPLUS
CN 1H-Indole-6-acetamide, 1-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



RN 214613-89-5 HCAPLUS
CN Acetamide, N-[[1-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1H-indol-6-yl]methyl]- (9CI) (CA INDEX NAME)



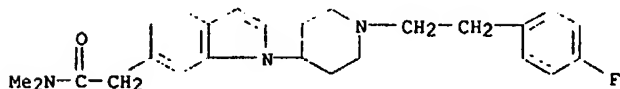
RN 214613-90-8 HCAPLUS
CN Acetamide, N-[[1-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]-1H-indol-6-yl]methyl]- (9CI) (CA INDEX NAME)



RN 214618-14-1 HCAPLUS
CN 1H-Indole-6-acetamide, 1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-N,N-dimethyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

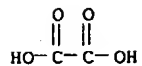
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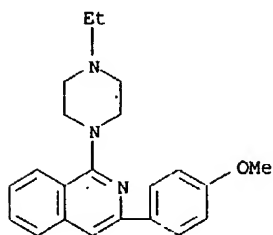
CM 2

PATEL 09/852,850

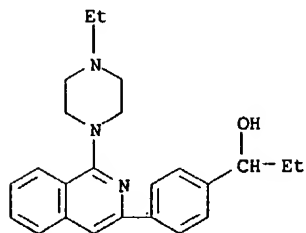
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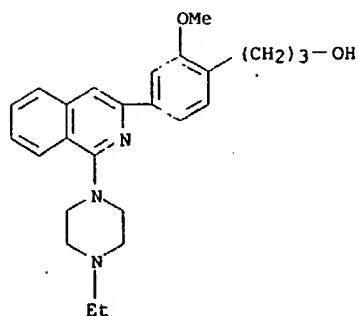
RN 223540-38-3 HCAPLUS
CN Isoquinoline, 1-(4-ethyl-1-piperazinyl)-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



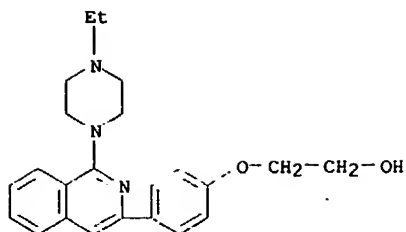
RN 223540-56-5 HCAPLUS
CN Benzenemethanol, .alpha.-ethyl-4-[1-(4-ethyl-1-piperazinyl)-3-isoquinoliny]- (9CI) (CA INDEX NAME)



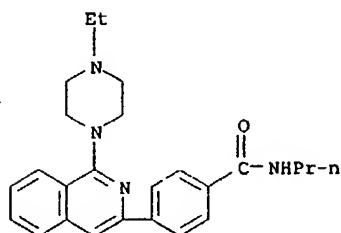
RN 223540-84-9 HCAPLUS
CN Benzenepropanol, 4-[1-(4-ethyl-1-piperazinyl)-3-isoquinoliny]-2-methoxy- (9CI) (CA INDEX NAME)



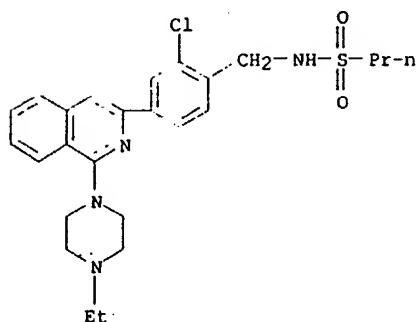
RN 223540-90-7 HCAPLUS
CN Ethanol, 2-[4-[1-(4-ethyl-1-piperazinyl)-3-isoquinoliny]phenoxy]- (9CI) (CA INDEX NAME)



RN 223541-70-6 HCAPLUS
 CN Benzamide, 4-[1-(4-ethyl-1-piperazinyl)-3-isoquinolinyl]-N-propyl- (9CI)
 (CA INDEX NAME)

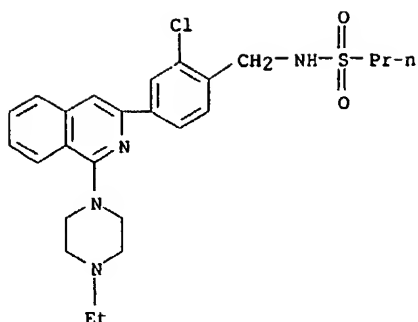


RN 223542-28-7 HCAPLUS
 CN 1-Propanesulfonamide, N-[[2-chloro-4-[1-(4-ethyl-1-piperazinyl)-3-isoquinolinyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



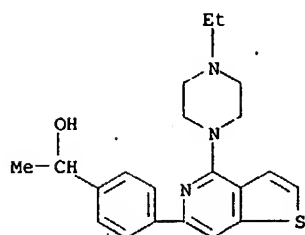
RN 223542-29-8 HCAPLUS
 CN 1-Propanesulfonamide, N-[[2-chloro-4-[1-(4-ethyl-1-piperazinyl)-3-isoquinolinyl]phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

PATEL 09/852,850



● 2 HCl

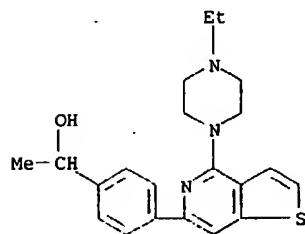
RN 223546-94-9 HCAPLUS
CN Benzenemethanol, 4-[4-(4-ethyl-1-piperazinyl)thieno[3,2-c]pyridin-6-yl]-
.alpha.-methyl- (9CI) (CA INDEX NAME)



RN 223546-95-0 HCAPLUS
CN Benzenemethanol, 4-[4-(4-ethyl-1-piperazinyl)thieno[3,2-c]pyridin-6-yl]-
.alpha.-methyl-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

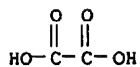
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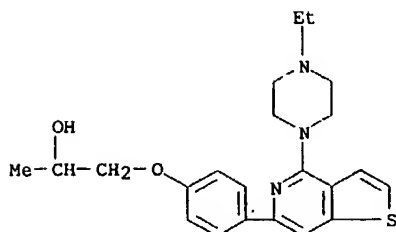
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PATEL 09/852,850



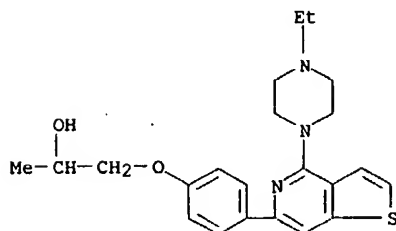
RN 223547-08-8 HCAPLUS

CN 2-Propanol, 1-[4-[4-(4-ethyl-1-piperazinyl)thieno[3,2-c]pyridin-6-yl]phenoxy]- (9CI) (CA INDEX NAME)



RN 223547-11-3 HCAPLUS

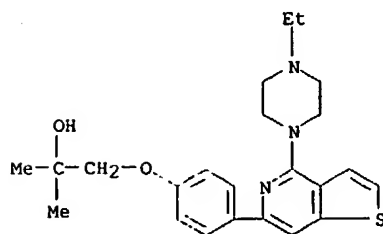
CN 2-Propanol, 1-[4-[4-(4-ethyl-1-piperazinyl)thieno[3,2-c]pyridin-6-yl]phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

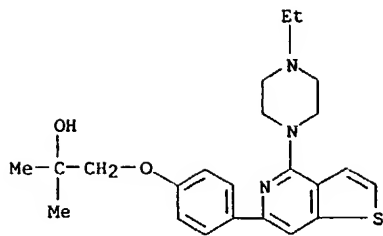
RN 223547-20-4 HCAPLUS

CN 2-Propanol, 1-[4-[4-(4-ethyl-1-piperazinyl)thieno[3,2-c]pyridin-6-yl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



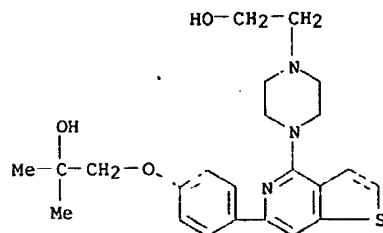
RN 223547-21-5 HCAPLUS

CN 2-Propanol, 1-[4-[4-(4-ethyl-1-piperazinyl)thieno[3,2-c]pyridin-6-yl]phenoxy]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

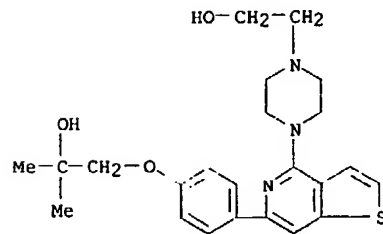


●2 HCl

RN 223547-40-8 HCAPLUS
CN 1-Piperazineethanol, 4-[6-[4-(2-hydroxy-2-methylpropoxy)phenyl]thieno[3,2-c]pyridin-4-yl]- (9CI) (CA INDEX NAME)



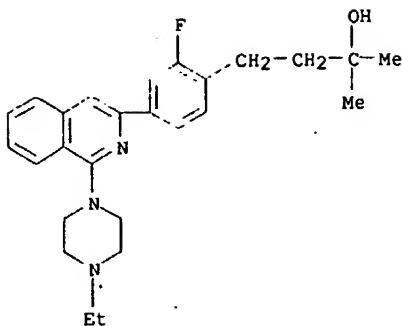
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CN 1-Piperazineethanol, 4-[6-[4-(2-hydroxy-2-methylpropoxy)phenyl]thieno[3,2-c]pyridin-4-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



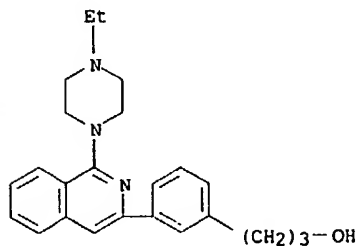
●2 HCl

RN 223551-27-7 HCAPLUS
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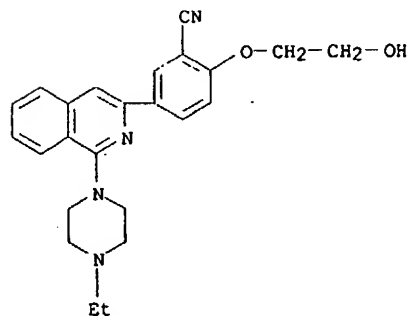
PATEL 09/852,850



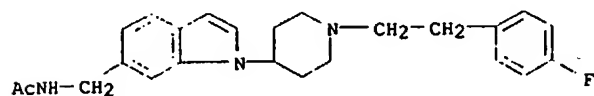
RN 223551-30-2 HCAPLUS
CN Benzenepropanol, 3-[1-(4-ethyl-1-piperazinyl)-3-isoquinolinyl]- (9CI) (CA INDEX NAME)



RN 223557-26-4 HCAPLUS
CN Benzonitrile, 5-[1-(4-ethyl-1-piperazinyl)-3-isoquinolinyl]-2-(2-hydroxyethoxy)- (9CI) (CA INDEX NAME)

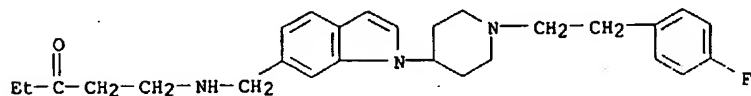


RN 265667-20-7 HCAPLUS
CN Acetamide, N-[[1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-1H-indol-6-yl]methyl]- (9CI) (CA INDEX NAME)



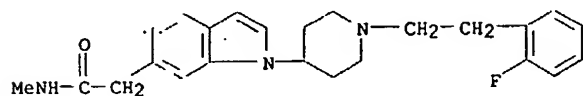
RN 265667-21-8 HCAPLUS

CN 3-Pentanone, 1-[[[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]-1H-indol-6-yl)methyl]amino]- (9CI) (CA INDEX NAME)



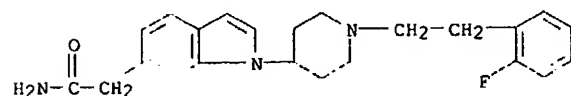
RN 265667-22-9 HCAPLUS

CN 1H-Indole-6-acetamide, 1-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]-N-methyl- (9CI) (CA INDEX NAME)



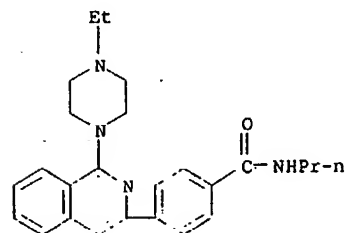
RN 265667-23-0 HCAPLUS

CN 1H-Indole-6-acetamide, 1-[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 265667-24-1 HCAPLUS

CN Benzamide, 4-[1-(4-ethyl-1-piperazinyl)-3-isoquinolinyl]-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

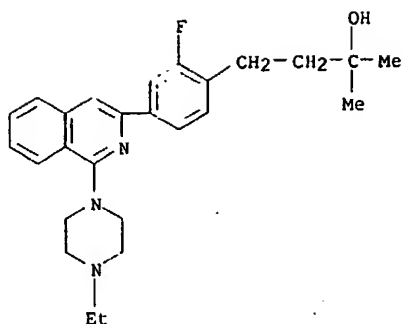


● HCl

RN 265667-25-2 HCAPLUS

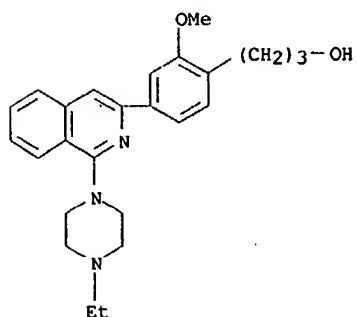
CN Benzenepropanol, 4-[1-(4-ethyl-1-piperazinyl)-3-isoquinolinyl]-2-fluoro-.alpha.,.alpha.-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

PATEL 09/852,850



● HCl

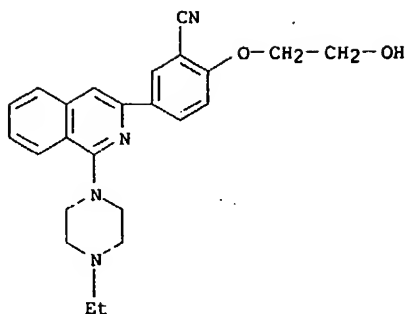
RN 265667-26-3 HCAPLUS
CN Benzenepropanol, 4-[1-(4-ethyl-1-piperazinyl)-3-isoquinolinyl]-2-methoxy-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

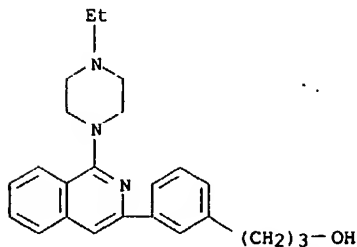
RN 265667-27-4 HCAPLUS
CN Benzonitrile, 5-[1-(4-ethyl-1-piperazinyl)-3-isoquinolinyl]-2-(2-
hydroxyethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

PATEL 09/852,850



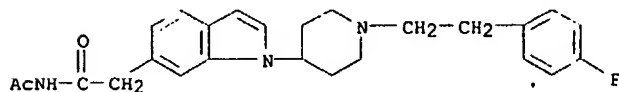
● HCl

RN 265667-28-5 HCAPLUS
CN Benzenepropanol, 3-[1-(4-ethyl-1-piperazinyl)-3-isoquinolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 265667-35-4 HCAPLUS
CN 1H-Indole-6-acetamide, N-acetyl-1-[1-[2-(4-fluorophenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RE.CNT 4

RE

- (1) Eisai Co Ltd; WO 9843956 A1 1998 HCAPLUS
- (2) Eisai Co Ltd; WO 9918077 A1 1999 HCAPLUS
- (3) Meiji Seika Kaisha Ltd; US 5631257 A 1997 HCAPLUS
- (4) Rhone-Poulenc Rorer S A; US 5563144 A 1996 HCAPLUS

=> d bib abs hitstr 2

L31 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2001 ACS

AN 1999:521437 HCAPLUS

DN 131:157754

TI Preparation of naphthyridine IL-4 antagonists and G-CSF stimulators

IN Solomon, Daniel M.; Grace, Michael J.; Fine, Jay S.; Bober, Loretta A.;
Sherlock, Margaret H.

PA Schering Corporation, USA

SO U.S., 57 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

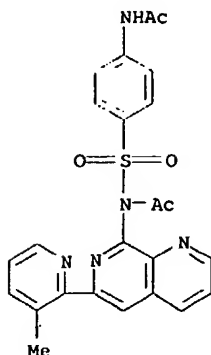
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|------|----------|-----------------|----------|
| PI | US 5939431 | A | 19990817 | US 1997-878860 | 19970619 |
| PRAI | US 1996-22173 | | 19960620 | | |
| OS | MARPAT 131:157754 | | | | |

AB Title compds., e.g., R1Z1NHSO2Z(NH)a(CO)bR8 (R1 = 3-methyl-2-pyridinyl; Z1 = 1,7-naphthyridine-6,8-diyl) (I; R8 = alkyl(oxy) or benzyl(oxy); Z = phenylene; a, b = 0 or 1) were prep'd. as IL-4 antagonists (no data) and G-CSF stimulators. Thus, 8-amino-6-(3-methyl-2-pyridinyl)-1,7-naphthyridine was amidated by 4-(AcHN)C6H4SO2Cl to give I (R8 = Me, Z = 1,4-phenylene, a = b = 1). Data for G-CSF stimulating activity of I were given.

IT 200927-49-7P 200927-65-7P 200927-80-6P
200928-20-7P 200928-22-9P 200928-24-1P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of naphthyridine IL-4 antagonists and G-CSF stimulators)

RN 200927-49-7 HCAPLUS

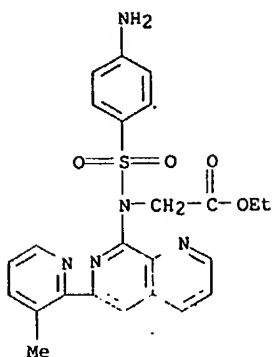
CN Acetamide, N-[[4-(acetylamino)phenyl]sulfonyl]-N-[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]- (9CI) (CA INDEX NAME)



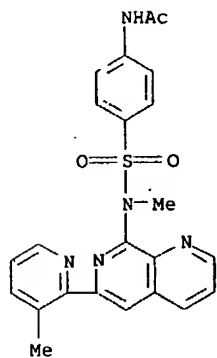
RN 200927-65-7 HCAPLUS

CN Glycine, N-[[4-(aminophenyl)sulfonyl]-N-[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]-, ethyl ester (9CI) (CA INDEX NAME)

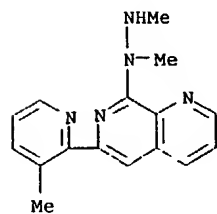
PATEL 09/852,850



RN 200927-80-6 HCAPLUS
CN Acetamide, N-[4-[[methyl(6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

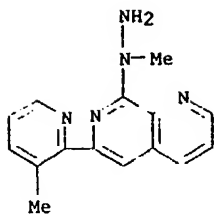


RN 200928-20-7 HCAPLUS
CN 1,7-Naphthyridine, 8-(1,2-dimethylhydrazino)-6-(3-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

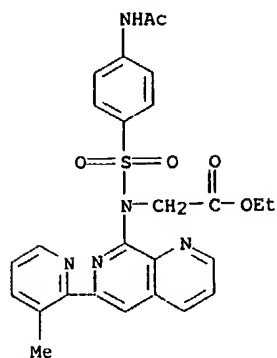


RN 200928-22-9 HCAPLUS
CN 1,7-Naphthyridine, 8-(1-methylhydrazino)-6-(3-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

PATEL 09/852,850



RN 200928-24-1 HCAPLUS
CN Glycine, N-[[4-(acetylamino)phenyl]sulfonyl]-N-(6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl)-, ethyl ester (9CI) (CA INDEX NAME)



RE.CNT 12

RE

- (2) Anon; GB 1545767 1979 HCAPLUS
 - (5) Behrens; US 4942163 1990 HCAPLUS
 - (6) De Zwart; J Med Chem 1988, V31, P716 HCAPLUS
 - (7) De Zwart; J Med Chem 1989, V32, P487 HCAPLUS
 - (8) Demetri; Blood 1991, V78, P2791 HCAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 130 1-35

L30 ANSWER 1 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1998:25142 HCAPLUS

DN 128:88786

TI Preparation of naphthyridines which affect IL-4 and G-CSF

IN Solomon, Daniel M.; Grace, Michael J.; Fine, Jay S.; Bober, Loretta A.;
Sherlock, Margaret H.

PA Schering Corp., USA

SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|------------------|--|----------|-----------------|--------------|
| PI | WO 9748368 | A2 | 19971224 | WO 1997-US9202 | 19970618 <-- |
| | WO 9748368 | A3 | 19980205 | | |
| | W: | AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, U2, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| | CA 2258752 | AA | 19971224 | CA 1997-2258752 | 19970618 <-- |
| | AU 9735673 | A1 | 19980107 | AU 1997-35673 | 19970618 <-- |
| | EP 912571 | A2 | 19990506 | EP 1997-932142 | 19970618 |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO | | | |
| | CN 1228090 | A | 19990908 | CN 1997-197310 | 19970618 |
| PRAI | US 1996-669185 | | 19960620 | | |
| | WO 1997-US9202 | | 19970618 | | |
| OS | MARPAT 128:88786 | | | | |
| GI | | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

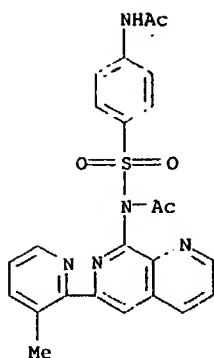
AB The title compds. [I; E = II, III, etc.; A = CH, S, N, N(O); L, M, X, Z, W, T, U, V = CH, N, N(O); Y = H, Me; Y1 = H, lower alkyl, Ph, etc.; Q = H, lower alkyl, lower alkyl O(O)CCH2, lower alkyl (O)C; a, b, c, g, h, j = 0-1; f = 1-2; n = 1-6; tt = 0-1; R8 = H, OH, halo, etc.] and their pharmaceutically acceptable salts, useful in the treatment of allergy, inflammation, autoimmune diseases, B-cell lymphomas, tumors, and the after effects of bone marrow transplantation, were prepd. Thus, reaction of 8-amino-6-(3-methyl-2-pyridyl)-1,7-naphthyridine with N-acetylsulfanilyl chloride in the presence of Et3N and DMAP in CH2Cl2 afforded the title compd. IV which resulted in a 4-5-fold increase in G-CSF levels, with an EC50 of 15 .mu.M.

IT 200927-49-7P 200927-65-7P 200927-80-6P
200928-20-7P 200928-22-9P 200928-24-1P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of naphthyridines which affect IL-4 and G-CSF)

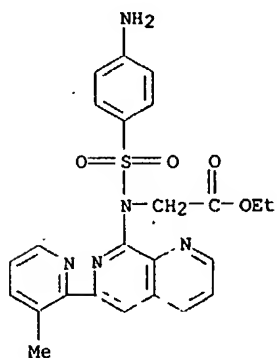
RN 200927-49-7 HCAPLUS

CN Acetamide, N-[[4-(acetylamino)phenyl]sulfonyl]-N-[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]- (9CI) (CA INDEX NAME)

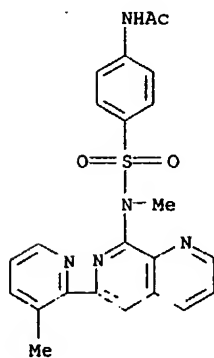
PATEL 09/852,850



RN 200927-65-7 HCAPLUS
CN Glycine, N-[(4-aminophenyl)sulfonyl]-N-[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 200927-80-6 HCAPLUS
CN Acetamide, N-[4-[[methyl[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



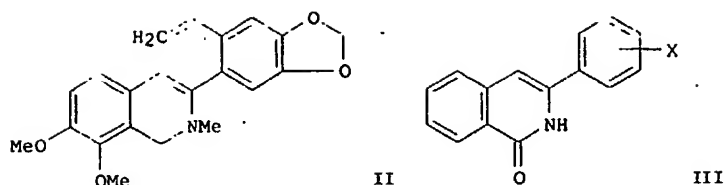
RN 200928-20-7 HCAPLUS
CN 1,7-Naphthyridine, 8-(1,2-dimethylhydrazino)-6-(3-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

Me

L30 ANSWER 2 OF 35 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:498744 HCAPLUS
DN 127:190707
TI Synthesis and antitumor activity of 3-arylisoquinoline derivatives
AU Chô, Won-Jea; Yoo, Su-Jeong; Park, Myun-Ji; Chung, Byung-Ho; Lee,
Chong-Ock
CS College of Pharmacy, Chonnam National University, Kwangju, 500-757, S.
Korea
SO Arch. Pharmacol Res. (1997), 20(3), 264-268
CODEN: APHRDQ; ISSN: 0253-6269
PB Pharmaceutical Society of Korea
DT Journal
LA English
GI

SEARCHED BY SUSAN HANLEY Phone: 305-4053

Page 3



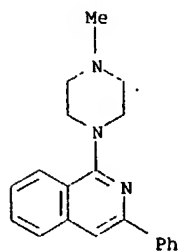
AB In order to study the structure-activity relationship of 7,8-dimethoxy-2-methyl-3-(4,5-methylenedioxy-2-vinylphenyl)isoquinoline-1(2H)-one (I), which has exhibited significant antitumor activity, chem. modifications of I were performed to yield the corresponding products, e.g., isoquinoline II. Further systematic uses of an efficient procedure for the synthesis of 3-arylisquinoline derivs. produced the substituted compds. III (X = H, 4-Br, 4-MeO, 4-Cl, 2-, 3-, 4-Me), which were tested for in vitro antitumor activity against five different human cancer cell lines.

IT 194292-31-4P 194292-32-5P 194292-33-6P
194292-34-7P 194292-35-8P 194292-36-9P
194292-37-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antitumor activity of arylisoquinoline derivs.)

RN 194292-31-4 HCAPLUS

CN Isoquinoline, 1-(4-methyl-1-piperazinyl)-3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

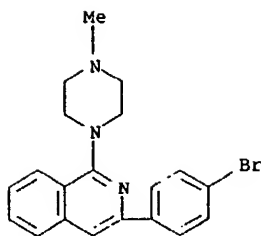


102

● HCl

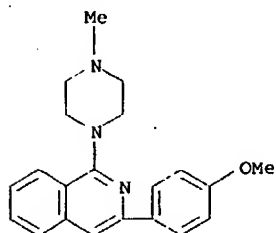
RN 194292-32-5 HCAPLUS

CN Isoquinoline, 3-(4-bromophenyl)-1-(4-methyl-1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



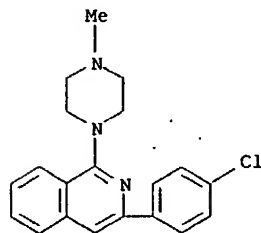
● HCl

RN 194292-33-6 HCAPLUS
CN Isoquinoline, 3-(4-methoxyphenyl)-1-(4-methyl-1-piperazinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)



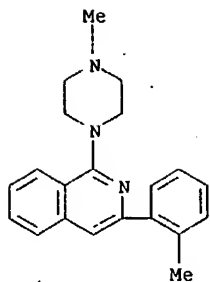
● HCl

RN 194292-34-7 HCAPLUS
CN Isoquinoline, 3-(4-chlorophenyl)-1-(4-methyl-1-piperazinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)



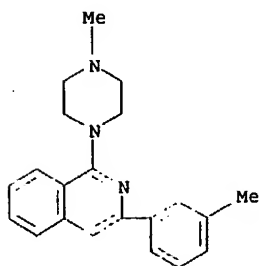
● HCl

RN 194292-35-8 HCAPLUS
CN Isoquinoline, 3-(2-methylphenyl)-1-(4-methyl-1-piperazinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)



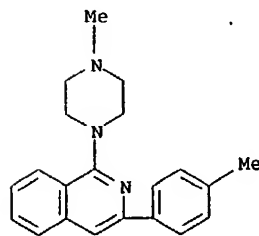
● HCl

RN 194292-36-9 HCAPLUS
CN Isoquinoline, 3-(3-methylphenyl)-1-(4-methyl-1-piperazinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

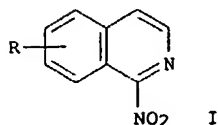
RN 194292-37-0 HCAPLUS
CN Isoquinoline, 3-(4-methylphenyl)-1-(4-methyl-1-piperazinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)



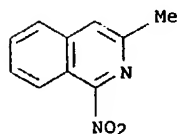
● HCl

L30 ANSWER 3 OF 35 HCAPLUS COPYRIGHT 2001 ACS
AN 1996:504767 HCAPLUS
DN 125:275604

TI DMSO-Ac2O promoted nitration of isoquinolines. One-step synthesis of
1-nitroisoquinolines under mild conditions
AU Baik, Woonphil; Yun, Sangmin; Rhee, Jong Uk; Russell, Glen A.
CS Dep. Chemistry, Myong Ji Univ., Kyung Ki Do, 449-728, S. Korea
SO J. Chem. Soc., Perkin Trans. 1 (1996), (15), 1777-1779
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
OS CASREACT 125:275604
GI



AB Nitroisoquinolines I (R = H, 5-NO₂, 4-Br, 3-Me, 5-Me) were directly prepd.
from the corresponding isoquinolines with potassium nitrite and acetic
anhydride in DMSO in good yields.
IT 182184-82-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(nitration of isoquinolines promoted by potassium nitrite/DMSO/acetic
anhydride)
RN 182184-82-3 HCAPLUS
CN Isoquinoline, 3-methyl-1-nitro- (9CI) (CA INDEX NAME)



L30 ANSWER 4 OF 35 HCAPLUS COPYRIGHT 2001 ACS
AN 1996:451066 HCAPLUS
DN 125:161858
TI Selective inhibition of cyclic AMP-dependent protein kinase by
isoquinoline derivatives
AU Lu, Zhe Xiong; Quazi, Nurul Huda; Deady, Leslie W.; Polya, Gideon M.
CS Sch. Biochem., La Trobe Univ., Victoria, 3083, Australia
SO Biol. Chem. Hoppe-Seyler (1996), 377(6), 373-384
CODEN: BCHSEI; ISSN: 0177-3593
DT Journal
LA English
AB A large series of isoquinoline derivs. was synthesized including derivs.
of isoquinoline, isoquinoline[3,4-c]furazan, 1,2-dihydro-1-
oxoisoquinoline, 6-oxopyrimido[1,2-b]isoquinoline, benzo[c][1,8]-
naphthyridine, pyrazino[2,3-c]isoquinoline and benzimidazo[2,1-
a]isoquinoline as well as further structurally related isoquinoline
derivs. and pyrido-2,3-furazans. Representatives of all of these classes
of isoquinolines are potent and selective inhibitors of the cAMP-dependent
protein kinase (PKA) catalytic subunit (cAK) from rat liver. The most
effective cAK inhibitors are a series of 1,3-di-substituted and
1,3,4-tri-substituted isoquinolines (IC₅₀ values 30-50 nM) (compds. A1,
A2, A3, A4 and A5) and 2-ethylcarboxy-3-amino-5,6-dihydro-6-
oxobenzo[c][1,8]naphthyridine (E1) (IC₅₀ 0.08 μM). Compds. A1-A5 inhibit
cAK in a fashion that is competitive with respect to ATP as substrate.
The isoquinoline inhibitors A1-A5 are ineffective or very poor inhibitors
of wheat embryo Ca²⁺-dependent protein kinase (CDPK) and rat brain
Ca²⁺-dependent protein kinase C (PKC), chicken gizzard myosin light chain
kinase (MLCK) and potato tuber cyclic nucleotide-binding phosphatase
(Pase). E1 is a moderately effective inhibitor of CDPK and PKC (IC₅₀

values 20 and 61 μM , resp.). The bisisoquinoline-1(2H)-one compd. B7 inhibits cAK, CDPK, PKC and MLCK (IC50 values 8, 95, 24 and 7 μM , resp.) as does J1 [2-(p-bromophenyl)pyrrolo[2,3-c]isoquinoline-5(4H)-one] (IC50 values 2, 50, 44 and 7 μM , resp.). The very potent isoquinoline-derived cAK inhibitors found here involve substitution of the N-contg. isoquinoline ring system and these inhibitors show high specificity for cAK.

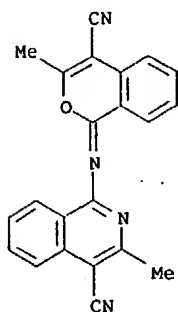
IT 180507-73-7

RL: BAC (Biological activity or effector, except adverse); PRP (Properties); BIOL (Biological study)

(selective inhibition of cAMP-dependent protein kinase, other kinases, and cyclic nucleotide-binding phosphatase by isoquinoline derivs.)

RN 180507-73-7 HCAPLUS

CN 4-Isoquinolinecarbonitrile, 1-[(4-cyano-3-methyl-1H-2-benzopyran-1-ylidene)amino]-3-methyl- (9CI) (CA INDEX NAME)



L30 ANSWER 5 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1996:291499 HCAPLUS

DN 125:57809

TI Electronic effects in isoquinoline systems

AU Zielinski, Wojciech; Kudelko, Agnieszka; Mazik, Monika

CS Institute of Organic Chemistry and Technology, Silesian Technical University, Gliwice, 44-101, Pol.

SO Pol. J. Appl. Chem. (1995), 39(1), 33-38

CODEN: PJACE2; ISSN: 0867-8928

DT Journal

LA English

AB Values of pKa for 1-(N,N-dimethylamino)-3-methylisoquinoline and a series of their 6- and 7-substituted derivs., 3-methylisoquinoline and 1-amino-3-methylisoquinoline were detd. in 50% vol./vol. aq.-methanolic soln. by the spectrophotometric method. The detd. values of pKa and values of pKa for 1-phenyl-3-methylisoquinolines and 1,3-dimethylisoquinolines taken from literature were correlated with the Hammett σ consts. Good correlations were obtained for 6-substituted derivs. with σ_p consts. and for 7-substituted derivs. with σ_m consts. The electronic effects occurring in the studied isoquinoline systems made by substituents present in pyridine and benzene ring are discussed basing on the detd. values.

IT 155999-40-9 155999-41-0 155999-42-1

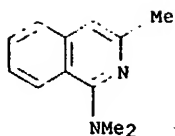
155999-43-2 155999-44-3 155999-45-4

155999-46-5 177978-22-2

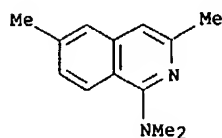
RL: PRP (Properties); RCT (Reactant)
(electronic effects in isoquinolines)

RN 155999-40-9 HCAPLUS

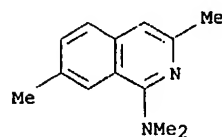
CN 1-Isoquinolinamine, N,N,3-trimethyl- (9CI) (CA INDEX NAME)



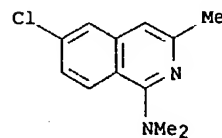
RN 155999-41-0 HCAPLUS
CN 1-Isoquinolinamine, N,N,3,6-tetramethyl- (9CI) (CA INDEX NAME)



RN 155999-42-1 HCAPLUS
CN 1-Isoquinolinamine, N,N,3,7-tetramethyl- (9CI) (CA INDEX NAME)



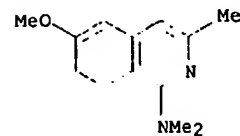
RN 155999-43-2 HCAPLUS
CN 1-Isoquinolinamine, 6-chloro-N,N,3-trimethyl- (9CI) (CA INDEX NAME)



RN 155999-44-3 HCAPLUS
CN 1-Isoquinolinamine, 7-chloro-N,N,3-trimethyl- (9CI) (CA INDEX NAME)



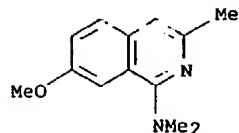
RN 155999-45-4 HCAPLUS
CN 1-Isoquinolinamine, 6-methoxy-N,N,3-trimethyl- (9CI) (CA INDEX NAME)



RN 155999-46-5 HCAPLUS

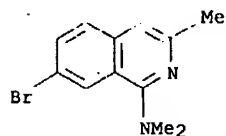
PATEL 09/852,850

CN 1-Isoquinolinamine, 7-methoxy-N,N,3-trimethyl- (9CI) (CA INDEX NAME)



RN 177978-22-2 HCAPLUS

CN 1-Isoquinolinamine, 7-bromo-N,N,3-trimethyl- (9CI) (CA INDEX NAME)



L30 ANSWER 6 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1995:869485 HCAPLUS

DN 123:343738

TI Perforated transfer printing media and printing process

IN Kawakami, Sota; Nakajima, Atsushi; Maejima, Katsumi; Komamura, Tawara

PA Konishiroku Photo Ind, Japan

SO Jpn. Kokai Tokkyo Koho, 52 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|----------------|------|----------|-----------------|--------------|
| PI | JP 07172059 | A2 | 19950711 | JP 1994-235470 | 19940929 <-- |
| PRAI | JP 1993-266507 | | 19931025 | | |

OS MARPAT 123:343738

AB The title media, comprising a base sheet, a coloring layer of chelate color formable compd. mixed with binders (e.g., polyvinyl butyral), and color-barrier layer (e.g., gelatins mixed with IR absorbers), are forming perforation on the barrier layer by heat and/or pressure and transfer printing on a printing sheet (e.g., PET film coated with a soln. contg. polyvinyl butyral, metallic ion-contg. compd., KF-393, X-22-343).

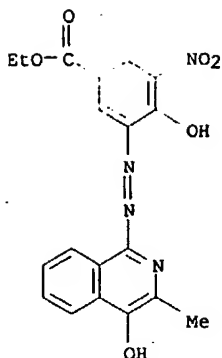
IT 161581-19-7

RL: MOA (Modifier or additive use); TEM (Technical or engineered material use); USES (Uses)

(chelate azo dyes; perforated transfer printing media and printing process)

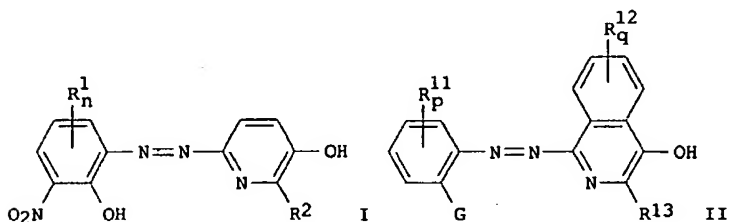
RN 161581-19-7 HCAPLUS

CN Benzoic acid, 4-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-5-nitro-, ethyl ester (9CI) (CA INDEX NAME)



L30 ANSWER 7 OF 35 HCAPLUS COPYRIGHT 2001 ACS
 AN 1995:370786 HCAPLUS
 DN 122:201322
 TI Thermal-transfer recording material using chelating dye
 IN Tanaka, Tatsuo; Kato, Katsunori; Komamura, Tawara
 PA Konishiroku Photo Ind, Japan
 SO Jpn. Kokai Tokkyo Koho, 13 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------------|------|------------|-----------------|----------------|
| PI | JP 06312582 | A2 | 1994.11.08 | JP 1993-102714 | 1993.04.28 <-- |
| OS | MARPAT 122:201322 | | | | |
| GI | | | | | |



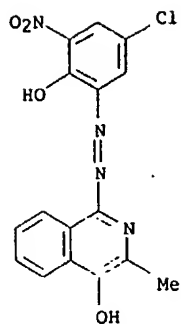
AB The material contains the dye I (R = substituent on benzene ring; n = 0-3; R1 = OH, amino) or II (R11 = substituent on benzene ring; R12 = substituent on isoquinoline ring; R13 = H, halo, monovalent substituent; G = chelatable group; p, q = 0-4) in the thermal-transfer layer. The thermal-transfer layer is contacted with a receptor layer, imagewise heated to form a chelating dye by the reaction of the dye with a metal ion to give images. The materials show good storage stability, and give high d. cyan images.

IT 161581-12-0 161581-13-1 161581-14-2
 161581-19-7
 RL: DEV (Device component use); USES (Uses)
 (thermal-transfer recording material contg. chelating dye)

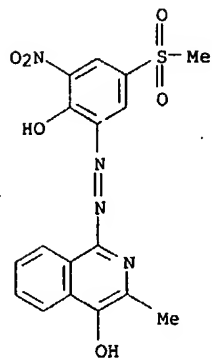
RN 161581-12-0 HCAPLUS

CN 4-Isoquinolinol, 1-[(5-chloro-2-hydroxy-3-nitrophenyl)azo]-3-methyl- (9CI)
 (CA INDEX NAME)

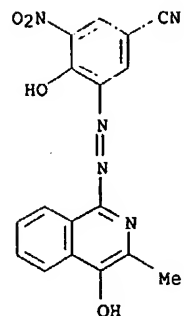
PATEL 09/852,850



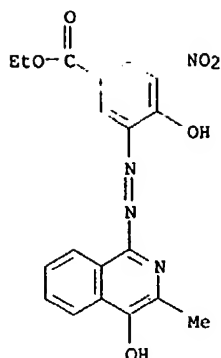
RN 161581-13-1 HCAPLUS
CN 4-Isoquinolinol, 1-[[2-hydroxy-5-(methylsulfonyl)-3-nitrophenyl]azo]-3-methyl- (9CI) (CA INDEX NAME)



RN 161581-14-2 HCAPLUS
CN Benzonitrile, 4-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-5-nitro- (9CI) (CA INDEX NAME)

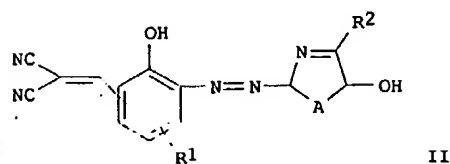
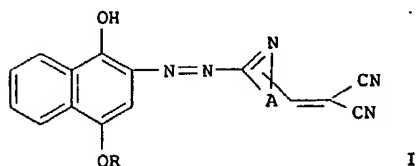


RN 161581-19-7 HCAPLUS
CN Benzoic acid, 4-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-5-nitro-, ethyl ester (9CI) (CA INDEX NAME)



L30 ANSWER 8 OF 35 HCAPLUS COPYRIGHT 2001 ACS
 AN 1995:339706 HCAPLUS
 DN 122:174514
 TI Thermal-transfer recording material and recording method by chelation
 IN Kato, Katsunori; Tanaka, Tatsuo; Komamura, Tawara
 PA Konishiroku Photo Ind, Japan
 SO Jpn. Kokai Tokkyo Koho, 12 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------------|------|----------|-----------------|--------------|
| PI | JP 06316164 | A2 | 19941115 | JP 1993-106738 | 19930507 <-- |
| OS | MARPAT 122:174514 | | | | |
| GI | | | | | |

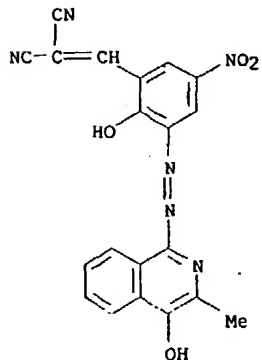


AB The material contains an azo dye I {R = (substituted) alkyl, cycloalkyl; A = (substituted) 5- or 6-membered ring, 9- or 10-membered condensed ring} or II {R1, R2 = H, substituent; A = (substituted) 6-membered ring, condensed ring} in a transfer layer on a substrate. Images are formed by thermal chelating reaction of the azo dye with a metal ion. High-d. and stable cyan images are obtained.

IT 161195-94-4 161195-95-5
 RL: DEV (Device component use); RCT (Reactant); USES (Uses)
 (thermal-transfer recording material contg. azo chelating dye for cyan image)

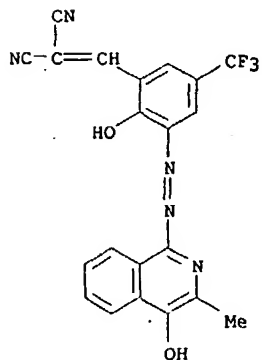
RN 161195-94-4 HCAPLUS
 CN Propanedinitrile, [(2-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-

5-nitrophenyl)methylene]- (9CI) (CA INDEX NAME)



RN 161195-95-5 HCAPLUS

CN Propanedinitrile, [[2-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-5-(trifluoromethyl)phenyl)methylene]- (9CI) (CA INDEX NAME)



L30 ANSWER 9 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1995:237241 HCAPLUS

DN 122:81247

TI A short facile route to 1-hydrazinoisoquinoline: Ring closure reactions of substituted 1-hydrazinoisoquinoline derivatives and substituted 2-(4-carbethoxy)phenyl-1(2H)-isoquinolinone derivatives and their biological activity

AU Pinto de Souza, Eleanor; Fernandes, Peter S.

CS NSR Lab., St. Xavier's Coll., Bombay, 400 001, India

SO Indian J. Chem., Sect. B: Org. Chem. Incl. Med. Chem. (1994), 33B(12), 1150-8

CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

AB A short facile synthesis of 1-hydrazinoisoquinoline from 1-chloroisoquinoline is reported. Substituted 1,2,4-triazolo[3,4-a]isoquinolines were prepd. from 1-hydrazino-7-methoxy-3-methylisoquinoline. The compd. underwent cyclization with acetic anhydride, benzoyl chloride, di-Et malonate, benzoin, nitrous acid, acetylacetone, Et acetoacetate and di-Et acetylenedicarboxylate. Substituted 2-[4-(4-amino-5-mercapto-1,2,4-triazol-3-yl)phenyl]-1(2H)-isoquinolinone was prepd. Furthermore, 2-[4-(s-triazolo[3,4-b][1,3,4]thiadiazol-3-yl)phenyl]-1(2H)-isoquinolinone and

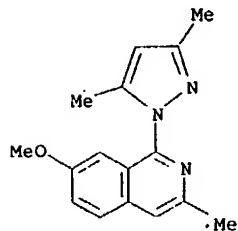
2-[4-(s-triazolo[3,4-b][1,3,4]thiadiazin-3-yl)phenyl]-1(2H)-isoquinolinone were prepd. All the compds. have been tested for their antibacterial activity; by the agar method all compds. were inactive at 50 .mu.g per well.

IT 160518-59-2P 160518-60-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

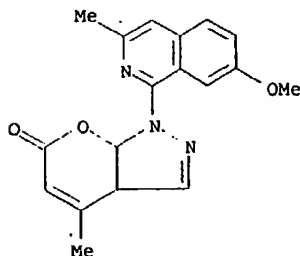
RN 160518-59-2 HCAPLUS

CN Isoquinoline, 1-(3,5-dimethyl-1H-pyrazol-1-yl)-7-methoxy-3-methyl- (9CI)
(CA INDEX NAME)



RN 160518-60-5 HCAPLUS

CN Pyrano[2,3-c]pyrazol-6(1H)-one, 3a,7a-dihydro-1-(7-methoxy-3-methyl-1-isoquinolinyl)-4-methyl- (9CI) (CA INDEX NAME)



L30 ANSWER 10 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1994:457449 HCAPLUS

DN 121:57449

TI Syntheses of 2,4-diaminopyrimidines and 1-aminoisoquinolines in the reactions of alkyl and benzyl ketones with cyanamide and N,N-dimethylcyanamide

AU Zielinski, Wojciech; Mazik, Monika

CS Inst. Org. Chem. Technol., Silesian Tech. Univ., Gliwice, 44-101, Pol.

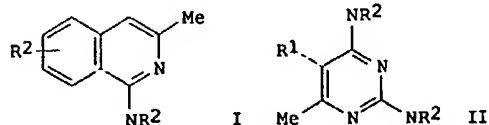
SO Heterocycles (1994), 38(2), 375-82

CODEN: HTCYAM; ISSN: 0385-5414

DT Journal

LA English

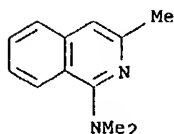
GI



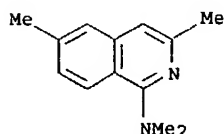
AB The reaction of alkyl and benzyl ketones with cyanamide and

N,N-dimethylcyanamide in the presence of POCl₃ was examd. At the first stage, chloroformamidine derivs. were formed. In the presence of TiCl₄, they underwent further reactions to give derivs. of 1-aminoisoquinoline I (R₂ = Ph, substituted Ph) and 2,4-diaminopyrimidine II (R₁ = alkyl, Ph, substituted Ph). The effect of constitution of substrates on adequate ratios of heterocyclic compds. is discussed.

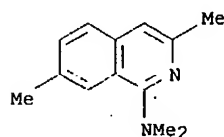
IT 155999-40-9P 155999-41-0P 155999-42-1P
 155999-43-2P 155999-44-3P 155999-45-4P
 155999-46-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 155999-40-9 HCAPLUS
 CN 1-Isoquinolinamine, N,N,3-trimethyl- (9CI) (CA INDEX NAME)



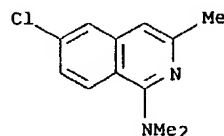
RN 155999-41-0 HCAPLUS
 CN 1-Isoquinolinamine, N,N,3,6-tetramethyl- (9CI) (CA INDEX NAME)



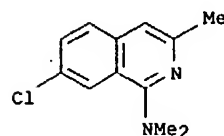
RN 155999-42-1 HCAPLUS
 CN 1-Isoquinolinamine, N,N,3,7-tetramethyl- (9CI) (CA INDEX NAME)



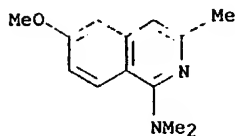
RN 155999-43-2 HCAPLUS
 CN 1-Isoquinolinamine, 6-chloro-N,N,3-trimethyl- (9CI) (CA INDEX NAME)



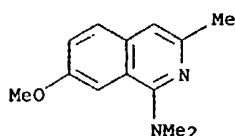
RN 155999-44-3 HCAPLUS
 CN 1-Isoquinolinamine, 7-chloro-N,N,3-trimethyl- (9CI) (CA INDEX NAME)



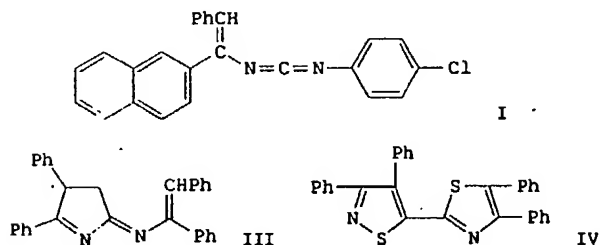
RN 155999-45-4 HCAPLUS
 CN 1-Isoquinolinamine, 6-methoxy-N,N,3-trimethyl- (9CI) (CA INDEX NAME)



RN 155999-46-5 HCAPLUS
 CN 1-Isoquinolinamine, 7-methoxy-N,N,3-trimethyl- (9CI) (CA INDEX NAME)



L30 ANSWER 11 OF 35 HCAPLUS COPYRIGHT 2001 ACS
 AN 1994:244949 HCAPLUS
 DN 120:244949
 TI New syntheses of heterocycles with vinyl- and divinylcarbodiimides:
 pyrroles, triazoles, pyrimidines, pyridines, isoquinolines and
 thiazolylisothiazoles
 AU Capuano, Lilly; Hammerer, Volker; Huch, Volker
 CS Fachbereich 11.2, Org. Chem., Univ. Saarlandes, Saarbruecken, D-66041,
 Germany
 SO Liebigs Ann. Chem. (1994), (1), 23-7
 CODEN: LACHDL; ISSN: 0170-2041
 DT Journal
 LA German
 OS CASREACT 120:244949
 GI



AB The title compds. I and $R_2CH:CR_1N:C:NCR_1:CHR_2$ [II, $R_1 = Ph, 4-ClC_6H_4, 2-naphthyl, 4-MeC_6H_4$; $R_2 = Ph, 4-MeC_6H_4$] react with diazomethane either by loss or by retention of the diazo nitrogen, to afford 3,4-dihydro-2-imino-2H-pyrroles or vic-triazoles, resp. The [4 + 2] addn. of benzylidenemethylamine or alicyclic enamines to II gives partially hydrogenated pyrimidine, pyridine or isoquinoline. Thermolysis of II proceeds with spontaneous dehydrogenation, giving high yields of 1-(1-indolyl)isoquinolines. The pyrrole III, when melted with sulfur, undergoes both dehydrogenation and sulfur insertion, whereby the hitherto unknown thiazolylisothiazole IV is formed. Its structure has been elucidated by an x-ray diffraction anal. A synthesis of

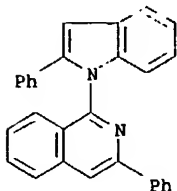
2,3,5-triphenylimidazo[2,1-a]isoquinoline is reported.

IT 154421-00-8P 154421-01-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

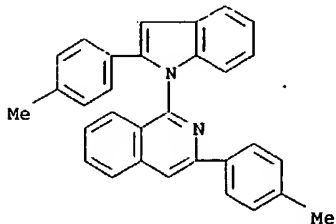
RN 154421-00-8 HCAPLUS

CN Isoquinoline, 3-phenyl-1-(2-phenyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)



RN 154421-01-9 HCAPLUS

CN Isoquinoline, 3-(4-methylphenyl)-1-[2-(4-methylphenyl)-1H-indol-1-yl]-
(9CI) (CA INDEX NAME)



L30 ANSWER 12 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1992:573507 HCAPLUS

DN 117:173507

TI Thermal-transfer recording materials and recording therewith

IN Miura, Akio; Komamura, Tawara; Nakayama, Noritaka

PA Konica K. K., Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp.

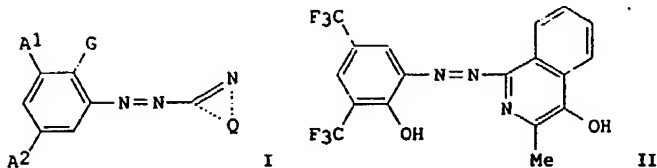
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------------|------|----------|-----------------|--------------|
| PI | JP 04089288 | A2 | 19920323 | JP 1990-203739 | 19900731 <-- |
| OS | MARPAT 117:173507 | | | | |
| GI | | | | | |



AB The title materials providing lightfast high-d. cyan images by chelation with metal ions in the receptor contain a layer contg. cyan dyes I (A1-2 = electron withdrawing group; G = chelating group; Q = a group of atoms)

forming 5- or 6- membered heterocyclic ring), e.g., thermally diffusible II.

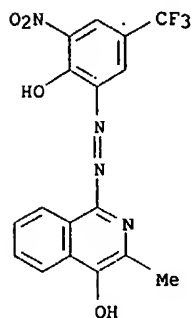
IT 108831-03-4 108831-05-6 143587-62-6

RL: USES (Uses)

(dye, cyan, for thermal transfer recording inks)

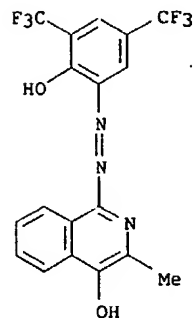
RN 108831-03-4 HCAPLUS

CN 4-Isoquinolinol, 1-[[2-hydroxy-3-nitro-5-(trifluoromethyl)phenyl]azo]-3-methyl- (9CI) (CA INDEX NAME)



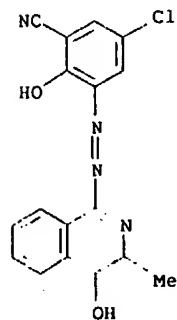
RN 108831-05-6 HCAPLUS

CN 4-Isoquinolinol, 1-[[2-hydroxy-3,5-bis(trifluoromethyl)phenyl]azo]-3-methyl- (9CI) (CA INDEX NAME)



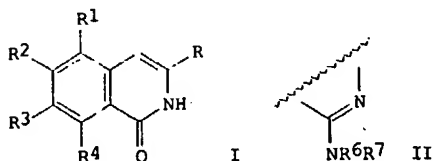
RN 143587-62-6 HCAPLUS

CN Benzonitrile, 5-chloro-2-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]- (9CI) (CA INDEX NAME)



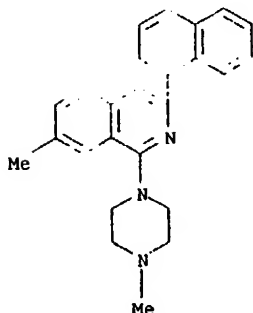
L30 ANSWER 13 OF 35 HCAPLUS COPYRIGHT 2001 ACS
 AN 1990:611863 HCAPLUS
 DN 113:211863
 TI Preparation of 1(2H)-isoquinolones and 1-isoquinolineamines as neoplasm inhibitors
 IN Behrens, Carl H.
 PA du Pont de Nemours, E. I., and Co., USA
 SO U.S., 13 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------------|------|----------|-----------------|--------------|
| PI | US 4942163 | A | 19900717 | US 1989-322191 | 19890307 <-- |
| OS | MARPAT 113:211863 | | | | |
| GI | | | | | |



AB The title compds. [I and II; R = 1-naphthyl; R1, R2, R4 = H, Me, Cl; R3 = H, alkyl, Cl, NR52, N+R53I-; R5 = H, alkyl; R6, R7 = H, alkyl, (CH2)nNR52; NR6R7 = piperazino, 4-alkylpiperazino; n = 2-8] were prepd. Thus, 5-nitro-N,N,2-trimethylbenzamide (prepn. given) was hydrogenated over Pd/C and the product stirred overnight with Zn-modified NaBH3CN in MeOH contg. HCHO to give 2,4-Me(Me2N)C6H4CONMe2 which was stirred 1 h at -78.degree. with (Me2CH)2NLi in THF followed by addn. of 1-cyanonaphthalene and stirring for 3 h to give, after acidification, 1.HCl (R1 = R3 = R4 = H, R2 = NMe2). The latter increased survival time of mice inoculated with L1210 murine leukemia cells by 156% over controls at 6 mg/kg/day for 9 days.

IT 130370-12-6P 130370-14-8P
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as neoplasm inhibitor)
 RN 130370-12-6 HCAPLUS
 CN Isoquinoline, 7-methyl-1-(4-methyl-1-piperazinyl)-3-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

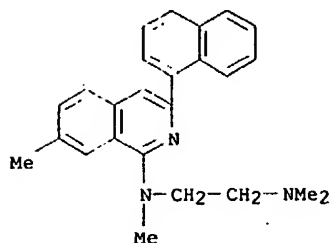


Drawn

RN 130370-14-8 HCAPLUS

PATEL 09/852,850

CN 1,2-Ethanediamine, N,N,N'-trimethyl-N'-[7-methyl-3-(1-naphthalenyl)-1-isoquinolinyl]- (9CI) (CA INDEX NAME)



L30 ANSWER 14 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1987:431094 HCAPLUS

DN 107:31094

TI Color photographic recording material

IN Bergthaller, Peter; Schenk, Guenther; Wolfrum, Gerhard; Runzheimer, Hans Volker; Heidenreich, Holger

PA Agfa-Gevaert A.-G., Fed. Rep. Ger.

SO Ger. Offen., 81 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|------|----------|-----------------|--------------|
| PI | DE 3107540 | A1 | 19820916 | DE 1981-3107540 | 19810227 <-- |
| | EP 59354 | A1 | 19820908 | EP 1982-101076 | 19820213 <-- |
| | EP 59354 | B1 | 19840620 | | |
| | R: BE, DE, FR, GB | | | | |
| | US 4418143 | A | 19831129 | US 1982-351103 | 19820222 <-- |
| | JP 57158637 | A2 | 19820930 | JP 1982-31647 | 19820227 <-- |
| | JP 03068371 | B4 | 19911028 | | |
| PRAI | DE 1981-3107540 | | 19810227 | | |

GI For diagram(s), see printed CA Issue.

AB Diffusible azo dyes of the formula I (R, R1 = electroneg. substituents whose meta sigma value .delta.m satisfies .gtoreq.1 of the relations .sigma.m(R), .sigma.m(R1) .gtoreq. +0.33; .sigma.m(R) + .sigma.m(R1) .gtoreq. +0.75; or .sigma.m(R) .gtoreq. +0.33 and R1 = SO2R3 where R3 = M, OH, NH2, NHR4 where R4 = alkyl, aryl, alkylsulfonyl, arylsulfonyl, or acyl; R2 = a chelate-forming group; A = 2-amino-3-hydroxypyridine, a 4,5-diphenylimidazole, or a 4-hydroxyisoquinoline ring) are described which are freed upon imagewise development from the corresponding dye releaser and form blue or cyan metal-dye complexes. The dyes, which are useful in color diffusion-transfer photog. materials, give esp. clear cyan color tones when complexed with Ni and Cu complexes. A polyethylene-coated paper was coated with a red-sensitized gelatin-Ag(Br,I) emulsion contg. an electron donor compd., a dye releaser of the formula II, and an oil former, a protective layer, and a hardening layer. This element was then exposed through a step wedge, combined with a receptor sheet, and then processed to give a dye image with a Dmin of 0.2, a Dmax of 1.9, a relative sensitivity of 85, and a d. loss of 15% when exposed to a Xe light (4.8 .times. 106 lx-h).

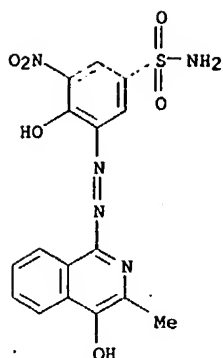
IT 108831-02-3

RL: RCT (Reactant)

(acetylation and chlorination of)

RN 108831-02-3 HCAPLUS

CN Benzenesulfonamide, 4-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-5-nitro- (9CI) (CA INDEX NAME)



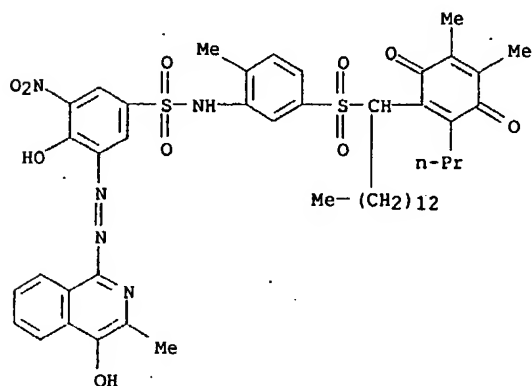
IT 108830-92-8

RL: USES (Uses)

(photog. azo dye-releasing compd.)

RN 108830-92-8 HCAPLUS

CN Benzenesulfonamide, N-[5-[[1-(4,5-dimethyl-3,6-dioxo-2-propyl-1,4-cyclohexadien-1-yl)tetradecyl]sulfonyl]-2-methylphenyl]-4-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-5-nitro- (9CI) (CA INDEX NAME)



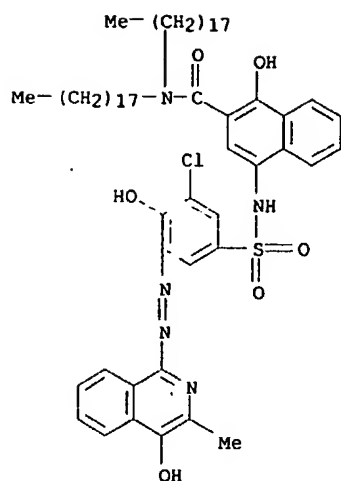
IT 108831-15-8P

RL: PREP (Preparation)

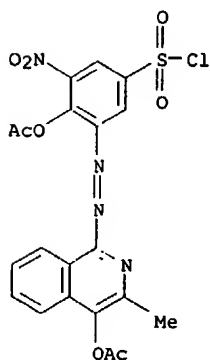
(prepn. and reaction of diazotized)

RN 108831-15-8 HCAPLUS

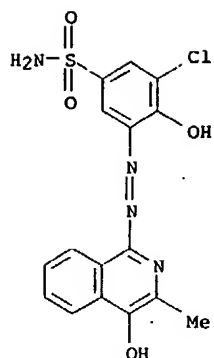
CN 2-Naphthalenecarboxamide, 4-[[[3-chloro-4-hydroxy-5-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]phenyl]sulfonyl]amino]-1-hydroxy-N,N-diocetadecyl- (9CI) (CA INDEX NAME)



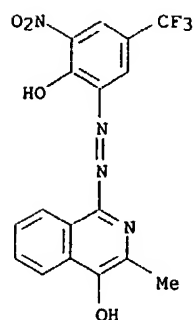
IT 108831-13-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction of, with cetyloxyphenylaminoindole)
 RN 108831-13-6 HCAPLUS
 CN Benzenesulfonyl chloride, 4-(acetyloxy)-3-[[4-(acetyloxy)-3-methyl-1-
 isoquinolinyl]azo]-5-nitro- (9CI) (CA INDEX NAME)



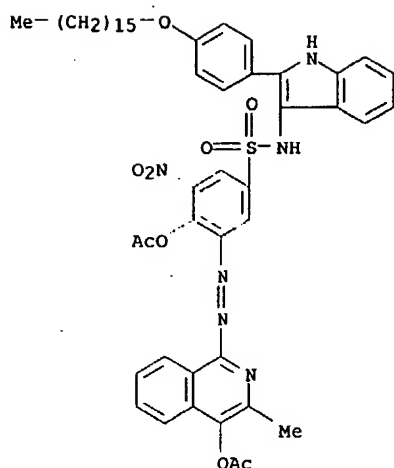
IT 108831-00-1P 108831-03-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 108831-00-1 HCAPLUS
 CN Benzenesulfonamide, 3-chloro-4-hydroxy-5-((4-hydroxy-3-methyl-1-
 isoquinolinyl)azo)- (9CI) (CA INDEX NAME)



RN 108831-03-4 HCAPLUS
 CN 4-Isoquinolinol, 1-[[2-hydroxy-3-nitro-5-(trifluoromethyl)phenyl]azo]-3-methyl- (9CI) (CA INDEX NAME)

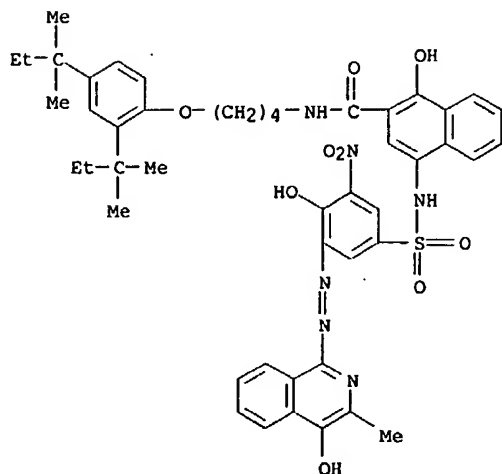


IT 108830-94-0P 108830-95-1P
 RL: PREP (Preparation)
 (prepn. of, as photog. diffusible azo dye-releasing compd.)
 RN 108830-94-0 HCAPLUS
 CN Benzenesulfonamide, 4-(acetyloxy)-3-[[4-(acetyloxy)-3-methyl-1-isoquinolinyl]azo]-N-[2-[4-(hexadecyloxy)phenyl]-1H-indol-3-yl]-5-nitro- (9CI) (CA INDEX NAME)



RN 108830-95-1 HCAPLUS

CN 2-Naphthalenecarboxamide, N-[4-{2,4-bis(1,1-dimethylpropyl)phenoxy}butyl]-1-hydroxy-4-[[[4-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-5-nitrophenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



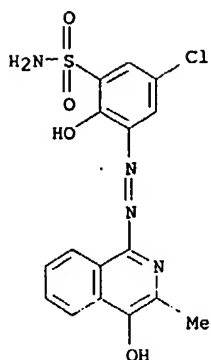
IT 108830-99-5D, nickel complex 108831-00-1D, copper and nickel complexes 108831-01-2D, nickel complex 108831-02-3D, copper and nickel complexes 108831-03-4D, nickel complex 108831-04-5D, copper and nickel complexes 108831-05-6D, nickel complex 108859-46-7D, nickel complex

RL: PRP (Properties)

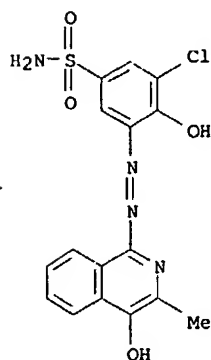
(spectral properties of, color photog. applications in relation to)

RN 108830-99-5 HCAPLUS

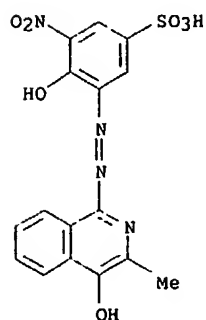
CN Benzenesulfonamide, 5-chloro-2-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]- (9CI) (CA INDEX NAME)



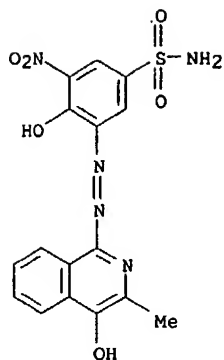
RN 108831-00-1 HCAPLUS
 CN Benzenesulfonamide, 3-chloro-4-hydroxy-5-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]- (9CI) (CA INDEX NAME)



RN 108831-01-2 HCAPLUS
 CN Benzenesulfonic acid, 4-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-5-nitro- (9CI) (CA INDEX NAME)

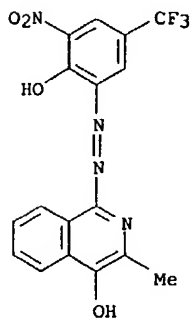


RN 108831-02-3 HCAPLUS
 CN Benzenesulfonamide, 4-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-5-nitro- (9CI) (CA INDEX NAME)



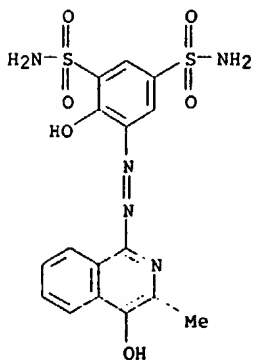
RN 108831-03-4 HCAPLUS

CN 4-Isoquinolinol, 1-[[2-hydroxy-3-nitro-5-(trifluoromethyl)phenyl]azo]-3-methyl- (9CI) (CA INDEX NAME)



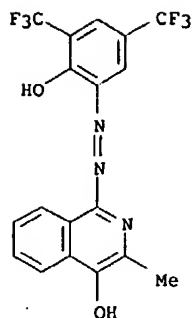
RN 108831-04-5 HCAPLUS

CN 1,3-Benzenedisulfonamide, 4-hydroxy-5-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]- (9CI) (CA INDEX NAME)

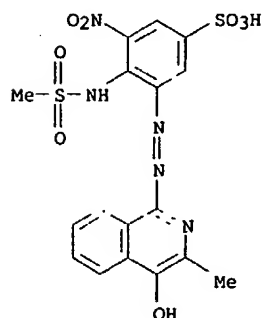


RN 108831-05-6 HCAPLUS

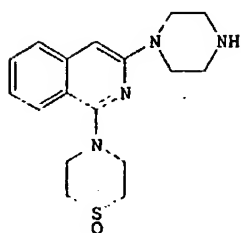
CN 4-Isoquinolinol, 1-[[2-hydroxy-3,5-bis(trifluoromethyl)phenyl]azo]-3-methyl- (9CI) (CA INDEX NAME)



RN 108859-46-7 HCAPLUS
 CN Benzenesulfonic acid, 3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-4-[(methylsulfonyl)amino]-5-nitro- (9CI) (CA INDEX NAME)



L30 ANSWER 15 OF 35 HCAPLUS COPYRIGHT 2001 ACS
 AN 1986:604283 HCAPLUS
 DN 105:204283
 TI Cytoplasmic vacuolation of pancreatic .beta. cells of rats after oral administration of a derivative of isoquinoline
 AU Kast, A.; Ueberberg, H.
 CS Dep. Exp. Pathol., Nippon Boehringer Ingelheim Co., Ltd., Yato, Japan
 SO Toxicol. Appl. Pharmacol. (1986), 85(2), 274-85
 CODEN: TXAPA9; ISSN: 0041-008X
 DT Journal
 LA English
 GI



I

AB Islet of Langerhans .beta.-cells were studied in Sprague-Dawley rats dosed

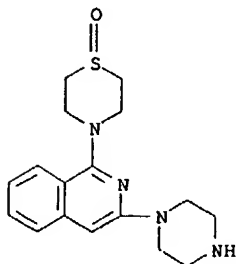
by gavage with 0 (control), 75, 150, 250 or 300 mg/kg/day SH 966BS (I) (58138-24-2). All doses caused a significant and dose-dependent increase in serum glucose (diabetes mellitus). At 250 mg/kg, degranulation of .beta.-cells was discovered after 1 day and vacuole formation after 2 days. Ultrastructural alterations compared well with that seen after treatment with cyproheptadine and other structurally related compds. The vacuolation of .beta.-cells was fully developed following 6 wk of daily treatment, when a dose-dependent elevation of blood glucose was 1st obsd. The effects were more severe in males than in females. Lesions were reversible within 6 wk except at 300 mg/kg in males.

IT 58138-24-2

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (toxicity of, to pancreas .beta.-cells, cytoplasmic vacuolation response to)

RN 58138-24-2 HCAPLUS

CN Isoquinoline, 1-(1-oxido-4-thiomorpholinyl)-3-(1-piperazinyl)- (9CI) (CA INDEX NAME)



L30 ANSWER 16 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1986:88467 HCAPLUS

DN 104:88467

TI Central nervous system active compounds. XV. 2-Arylisoxazol-5(2H)-ones

AU Hung, Tran V.; Janowski, Wit K.; Prager, Rolf H.

CS Dep. Org. Chem., Univ. Adelaide, Adelaide, 5001, Australia

SO Aust. J. Chem. (1985), 38(6), 931-7

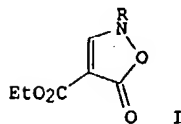
CODEN: AJCHAS; ISSN: 0004-9425

DT Journal

LA English

OS CASREACT 104:88467

GI



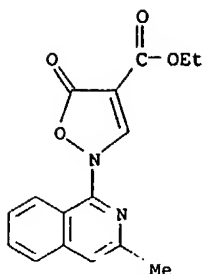
AB Et 5-oxo-2,5-dihydroisoxazole-4-carboxylate was treated with a no. of chlorinated heterocycles to yield the corresponding substitution products I (R = isoquinolinyl, quinolinyl, purinyl, pyrimidinyl, pyridinyl, pyridazinyl, benzothiazolyl, quinazolinyl, triazinyl). I generally cause loss of motor control in mice, but are relatively toxic.

IT 100422-70-6P

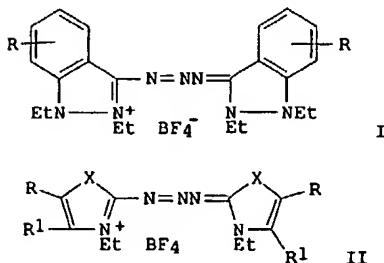
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and central nervous system activity of)

RN 100422-70-6 HCAPLUS

CN 4-Isoxazolecarboxylic acid, 2,5-dihydro-2-(3-methyl-1-isoquinolinyl)-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

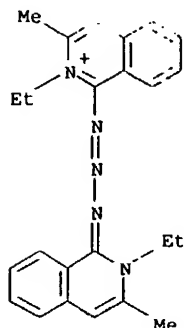


L30 ANSWER 17 OF 35 HCAPLUS COPYRIGHT 2001 ACS
 AN 1985:561857 HCAPLUS
 DN 103:161857
 TI Photoisomerization and relaxation of symmetrical triazacarbocyanine dyes
 in an alcohol mixture
 AU Balli, Heinz; Eichenberger, Thomas; Hellrung, Bruno; Scheibli, Peter
 CS Inst. Farbenchem., Univ. Basel, Basel, CH-4056, Switz.
 SO Helv. Chim. Acta (1985), 68(5), 1394-400
 CODEN: HCACAV; ISSN: 0018-019X
 DT Journal
 LA German
 GI



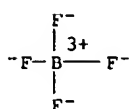
AB Photoisomerization of I (R = H, Br, NH₂, NO₂) and of II (R = H and R₁ = H, Me or RR₁ = benzo, 1,2-naphtho; X = S, Se, CH:CH, o-C₆H₄) in 90:5:5 EtOH-MeOH-iso-PrOH at 110-250 K was followed by a 1st-order thermal reverse isomerization in the dark. For II (R = R₁ = H, X = CH:CH) [2805-63-2] the irradiation resulted in a decrease in visible absorption intensity with no shift in λ_{max} , whereas most of the other II showed a hypsochromic shift of λ_{max} accompanied by a decrease in intensity. For II (R = R₁ = H, X = o-C₆H₄) [3801-71-6] and 3 other II, irradiation resulted in a shift in the ratio of intensities of 2 absorption bands. With I the electron-donor substituents (OMe, NH₂) increased the rate of the dark reaction and NO₂ groups decreased the rate. The mechanism proposed involves cis-trans isomerization around the N:N bond, by inversion after partial rotation.
 IT 98621-70-6
 RL: USES (Uses)
 (photoisomerization and subsequent thermal reversion of, kinetics and mechanism of)
 RN 98621-70-6 HCAPLUS
 CN Isoquinolinium, 2-ethyl-1-[3-(2-ethyl-3-methyl-1(2H)-isoquinolinylidene)-1-triazenyl]-3-methyl-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)
 CM 1

CRN 98621-69-3
CMF C24 H26 N5



CM 2

CRN 14874-70-5
CMF B F4
CCI CCS



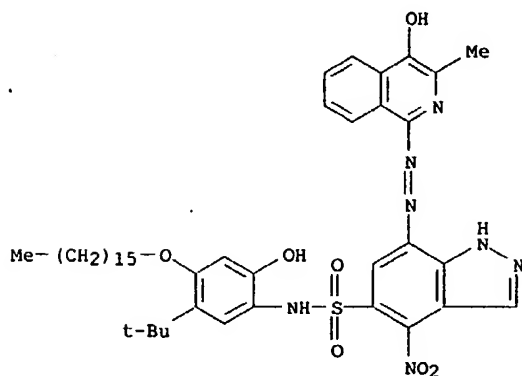
L30 ANSWER 18 OF 35 HCAPLUS COPYRIGHT 2001 ACS
AN 1985:87554 HCAPLUS
DN 102:87554
TI Silver halide color photographic materials
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 23 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--|------|----------|-----------------|--------------|
| PI | JP 59154448 | A2 | 19840903 | JP 1983-28927 | 19830223 <-- |
| GI | For diagram(s), see printed CA Issue. | | | | |
| AB | <p>Ag halide color photog. photosensitive materials contain azo dye forming compd. I or II (A = heterocycle; B = 5-membered heterocycle; Z = bond, divalent moiety; R = group which is sepd. from the azo dye or its precursor during processing by an alk. soln.; R1, R2 = H, or a substituent which does not exhibit photog. degrdn. effects). The dyes formed from I or II form stable chelates with metals, and hence useful for forming stable images in image receptor layer. Thus, a polyester film support was coated with (1) a dye-mordanting layer contg. Ni acetate and divinylbenzene-N-methyl-N-(vinylbenzyl)piperidinium chloride-styrene copolymer, (2) a layer contg. acylamide-Na N-vinylbenzyliminodiacetate copolymer, (3) a reflector layer contg. TiO₂, (4) a carbon black-contg. layer, (5) a layer contg. III, (6) a red-sensitive internal latent image type Ag halide emulsion layer, (7) a gelatin layer contg. 2,5-di-tert-pentadecylhydroquinone, and (8) an overcoat layer to give a diffusion transfer photosensitive film. The diffusion transfer photog. film gave images with azo dye-Ni chelate (having .gamma.max 650) with high Dmax and low Dmin.</p> | | | | |
| IT | 94767-38-1P | | | | |
| | RL: PREP (Preparation) | | | | |

(prepn. of, as diffusion-transfer photog. dye-releasing compd.)

RN 94767-38-1 HCAPLUS

CN 1H-Indazole-5-sulfonamide, N-[5-(1,1-dimethylethyl)-4-(hexadecyloxy)-2-hydroxyphenyl]-7-[[4-(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-4-nitro- (9CI)
(CA INDEX NAME)



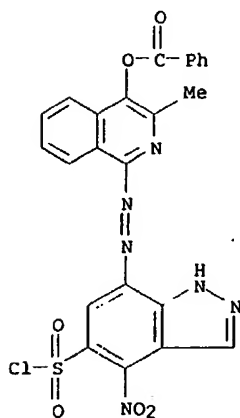
IT 94737-95-8

RL: RCT (Reactant)

(reaction of, with amino-tert-butylhexadecyloxyphenol hydrochloride)

RN 94737-95-8 HCAPLUS

CN 1H-Indazole-5-sulfonyl chloride, 7-[[4-(benzoyloxy)-3-methyl-1-isoquinolinyl]azo]-4-nitro- (9CI) (CA INDEX NAME)



L30 ANSWER 19 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1982:615949 HCAPLUS

DN 97:215949

TI A synthesis of alkylated 3-aminoisoquinolines and related compounds

AU Liepa, Andris J.

CS Div. Appl. Org. Chem., CSIRO, Melbourne, 3001, Australia

SO Aust. J. Chem. (1982), 35(7), 1391-403

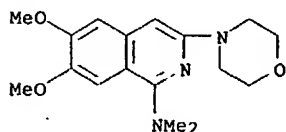
CODEN: AJCHAS; ISSN: 0004-9425

DT Journal

LA English

AB N,N-Dialkyl derivs. of 3-aminoisoquinoline have been prepd. by reaction of nitriles with various arylacetic acid tertiary amides in the presence of POCl3. The synthesis has been extended to include a benzoisoquinoline and annulated isoquinolines by the selection of appropriate amide and nitrile

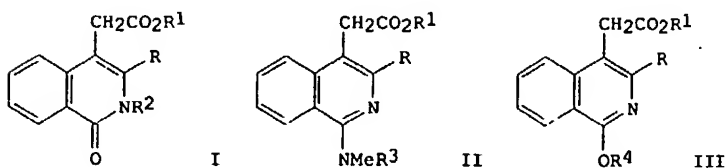
precursors.
 IT 83814-30-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 83814-30-6 HCAPLUS
 CN 1-Isoquinolinamine, 6,7-dimethoxy-N,N-dimethyl-3-(4-morpholinyl)- (9CI)
 (CA INDEX NAME)



L30 ANSWER 20 OF 35 HCAPLUS COPYRIGHT 2001 ACS
 AN 1981:569009 HCAPLUS
 DN 95:169009
 TI Isoquinoline acetic acids and pharmaceutical compositions containing them
 IN Schnur, Rodney Caughren
 PA Pfizer Inc., USA
 SO Eur. Pat. Appl., 24 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

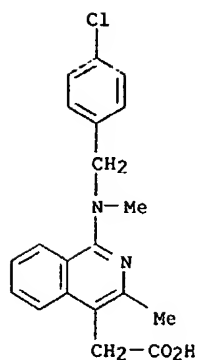
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------|------|----------|-----------------|--------------|
| EP 30861 | A2 | 19810624 | EP 1980-304517 | 19801215 <-- |
| EP 30861 | A3 | 19810923 | | |
| EP 30861 | B1 | 19830727 | | |
| R: BE, CH, DE, FR, GB, IT, LU, NL, SE | | | | |
| US 4283539 | A | 19810811 | US 1979-104939 | 19791218 <-- |
| JP 56092871 | A2 | 19810727 | JP 1980-177066 | 19801215 <-- |
| JP 62010508 | B4 | 19870306 | | |
| DK 8005364 | A | 19810619 | DK 1980-5364 | 19801217 <-- |
| DK 149569 | B | 19860728 | | |
| DK 149569 | C | 19870202 | | |
| PRAI US 1979-104939 | | 19791218 | | |

GI



AB Acids and esters I, II, and III {R = H, Me; R1 = H, alkyl; R2 = (un)substituted benzyl or benzyloxy; R3 = (un)substituted benzyl; R4 = Ph, chloro-, bromo-, or fluorophenyl, (un)substituted benzyl} were prepd. and they inhibited aldose reductase. 2-Methyl-1-oxo-3-indanacetic acid was treated with BuONO, the I (R = Me, R1 = H, R2 = OH) obtained was dehydroxylated, and the product treated with 3,4-Cl2C6H3CH2Cl to give I (R = Me, R1 = H, R2 = 3,4-Cl2C6H3CH2).

IT 79456-23-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 79456-23-8 HCAPLUS
 CN 4-Isoquinolineacetic acid, 1-[[[(4-chlorophenyl)methyl]methylamino]-3-methyl- (9CI) (CA INDEX NAME)

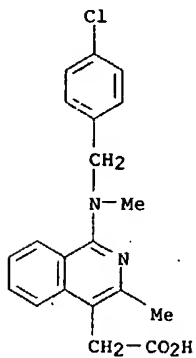


IT 79456-22-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of and inhibition of aldose reductase by)

RN 79456-22-7 HCAPLUS

CN 4-Isoquinolineacetic acid, 1-[[4-chlorophenyl)methyl]methylamino]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L30 ANSWER 21 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1981:174912 HCAPLUS

DN 94:174912

TI Aminoisoquinoline derivatives

PA E. Gy. T. Gyogyszervegyeszet Gyar, Hung.

SO Neth. Appl., 20 pp.

CODEN: NAXXAN

DT Patent

LA Dutch

FAN.CNT 1

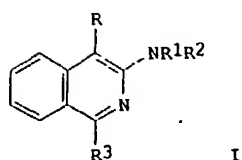
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|--------------|
| PI | NL 8002119 | A | 19801014 | NL 1980-2119 | 19800411 <-- |
| | HU 20959 | O | 19810928 | HU 1979-EE2647 | 19790411 <-- |
| | HU 178522 | P | 19820528 | | |
| | GB 2048256 | A | 19801210 | GB 1980-10793 | 19800331 <-- |
| | GB 2048256 | B2 | 19830518 | | |
| | BE 882674 | A1 | 19801008 | BE 1980-9778 | 19800408 <-- |
| | AU 8057302 | A1 | 19801016 | AU 1980-57302 | 19800410 <-- |

L30 ANSWER 21 OF 35 HCAPLUS COPYRIGHT 2001 ACS
AN 1981:174912 HCAPLUS
DN 94:174912
TI Aminoisoquinoline derivatives
PA E. Gy. T. Gyogyszervegyeszeti Gyar, Hung.
SO Neth. Appl., 20 pp.
CODEN: NAXXAN
DT Patent
LA Dutch
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|--------------|
| PI | NL 8002119 | A | 19801014 | NL 1980-2119 | 19800411 <-- |
| | HU 20959 | O | 19810928 | HU 1979-EE2647 | 19790411 <-- |
| | HU 178522 | P | 19820528 | | |
| | GB 2048256 | A | 19801210 | GB 1980-10793 | 19800331 <-- |
| | GB 2048256 | B2 | 19830518 | | |
| | BE 882674 | A1 | 19801008 | BE 1980-9778 | 19800408 <-- |
| | AU 8057302 | A1 | 19801016 | AU 1980-57302 | 19800410 <-- |

SEARCHED BY SUSAN HANLEY Phone: 305-4053

| | | | | |
|---------------------|----|----------|-----------------|--------------|
| AU 535462 | B2 | 19840322 | | |
| FR 2453855 | A1 | 19801107 | FR 1980-8052 | 19800410 <-- |
| FR 2453855 | B1 | 19830826 | | |
| DD 150055 | C | 19810812 | DD 1980-220351 | 19800410 <-- |
| US 4324894 | A | 19820413 | US 1980-138843 | 19800410 <-- |
| CH 643833 | A | 19840629 | CH 1980-2742 | 19800410 <-- |
| JP 55149261 | A2 | 19801120 | JP 1980-46957 | 19800411 <-- |
| DE 3013998 | A1 | 19801211 | DE 1980-3013998 | 19800411 <-- |
| ES 490506 | A1 | 19810216 | ES 1980-490506 | 19800411 <-- |
| CS 216218 | B2 | 19821029 | CS 1980-2538 | 19800411 <-- |
| SU 1033001 | A3 | 19830730 | SU 1980-2905799 | 19800411 <-- |
| AT 8001972 | A | 19831015 | AT 1980-1972 | 19800411 <-- |
| AT 374798 | B | 19840525 | | |
| PRAI HU 1979-EE2647 | | 19790411 | | |
| GI | | | | |

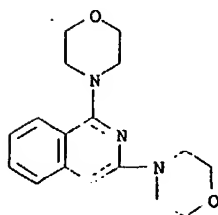


AB Aminoisoquinolines I (R, R1 = H, alkyl; R2 = H, alkyl, optionally substituted Ph, pyridyl, dialkylaminoalkyl; NR1R2 = heterocyclic; R3 = alkoxy, amino) were prepd. Thus I (R = Me, R1 = R2 = H, R3 = Br) was treated with morpholine to give 83% I (R = Me, R1 = R2 = H, R3 = morpholino) which had a spontaneous motility-inhibiting ED50 of 400 mg/kg orally in mice. I (R-R2 = H, R3 = morpholino) had an analgesic ED50 of 100 mg/kg orally in the HOAC writhing test in mice and a therapeutic index of 20.

IT 77454-38-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 77454-38-7 HCAPLUS

CN Isoquinoline, 1,3-di-4-morpholinyl- (9CI) (CA INDEX NAME)



L30 ANSWER 22 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1980:22393 HCAPLUS

DN 92:22393

TI 1-Amino-4-phenylisoquinoline derivatives

IN Simmonds, Robin George

PA Aspro-Nicholas Ltd., Engl.

SO Brit., 16 pp.

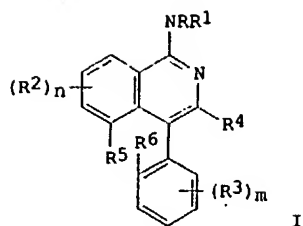
CODEN: BRXXAA

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|--------------|
| PI | GB 1545767 | A | 19790516 | GB 1975-31144 | 19760630 <-- |
| GI | | | | | |



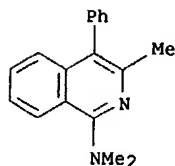
AB The prepn. is described of title compds. I (R, R1 = H, C1-12 alkyl; RNR1 = piperazinyl optionally substituted by C1-12 alkyl or hydroxyalkyl; n = 0 - 3; m = 0 - 4; R2,R3 = C1-12 alkyl optionally substituted by .gtoreq.1 halo, C1-12 alkoxy, halo; R4 = H, C1-12 alkyl; R5,R6 = H or C1-12 alkyl, alkylthio, alkoxy; R5R6 = bond, O, S, C1-3 alkylene optionally contg. .gtoreq.1 O or S), which show antiinflammatory (esp. antirheumatic) and/or central nervous system activity. Thus, 3-dimethylamino-7,8-dihydrobenzo[1,2-c:4,5-d']isoquinoline hydrogen maleate was prepd. from dibenzo[ad]suberone by sequential treatment with NaH/Me3S+ I-, BF3.Me2O/CH2Cl2, and H2NCO2Et/H2SO4 followed by heating (256.degree., 1 h), refluxing with POCl3, and Me2NH/EtOH treatment. The yields of the 6 steps were 96, 98, 100, 89, 99, and 75.6%, resp. Compns. contg. I are described.

IT 72240-39-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 72240-39-2 HCAPLUS

CN 1-Isoquinolinamine, N,N,3-trimethyl-4-phenyl- (9CI) (CA INDEX NAME)



L30 ANSWER 23 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1979:466274 HCAPLUS

DN 91:66274

TI Photographic products and processes employing nondiffusible
1-arylaazo-4-isoquinolinol dye-releasing compounds

IN Chapman, Derek D.; Friday, James A.; Elwood, James K.

PA Eastman Kodak Co., USA

SO U.S., 21 pp.

CODEN: USXXAM

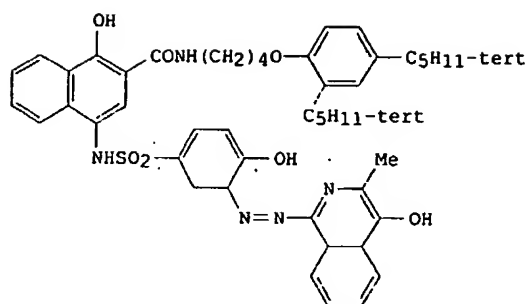
DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|----------------|------|----------|-----------------|--------------|
| PI | US 4148642 | A | 19790410 | US 1978-884469 | 19780307 <-- |
| | US 4183754 | A | 19800115 | US 1978-950194 | 19781010 <-- |
| PRAI | US 1978-884469 | | 19780307 | | |

GI



I

AB Photog. elements, diffusion-transfer assemblages, and processes are described which employ a novel nondiffusible compd. having a releaseable 1-aryazo-4-isoquinolinol dye moiety. The compd. contains in the ortho position of the arylazo moiety a metal chelating group, a salt thereof, or a hydrolyzable precursor thereof, and a ballasted carrier moiety which is capable of releasing the diffusible azo dye under alk. conditions. The dye is transferred imagewise to an image-receiving layer where it is contacted with metal ions to form a metal complexed azo dye transfer image of excellent stability. Thus, a single-color integral-imaging receiver element was prepd. by coating successively on a polyester film support a metalizing layer comprising gelatin (1.08 g/m²) and NiSO₄·6H₂O (0.58 g/m²), a receiving layer consisting of gelatin and poly(4-vinylpyridine) (each at 2.15 g/m²), a reflecting layer comprising TiO₂ and gelatin in 6.25/1 ratio, an opaque layer of C in gelatin, a layer consisting of gelatin and a dispersion of I (prepd. by reaction of 3-methyl-4-isoquinolinol with diazotized 4-(3-amino-4-hydroxybenzenesulfonamido)-1-hydroxy-N-[4-(2,4-di-tert-phenylphenoxybutyl)-2-naphthamide] (0.84 g/m²), a layer of red sensitized internal image emulsion, a layer of dodecylhydroquinone (1.29 g/m²) dispersed in gelatin (1.61 g/m²), and a gelatin overcoat layer. This integral element was exposed to a multicolor test object and then processed to show a d. at λ_{max} after 4 min of 1.11, a λ_{max} of 637 nm, a half bandwidth of 127, and a d. change after exposure to a 5000 ft-candle light source for 2 days of -0.03 vs 1.41, 544, 186, and -0.18, resp., for a Ni²⁺-free control.

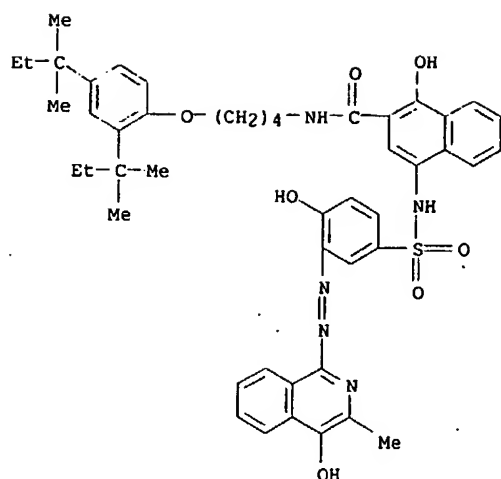
IT 70881-90-2

RL: USES (Uses)

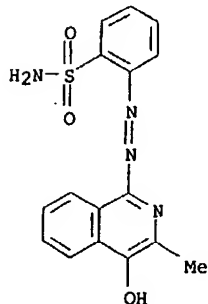
{azo dye-releasing compd., for color photog.}

RN 70881-90-2 HCAPLUS

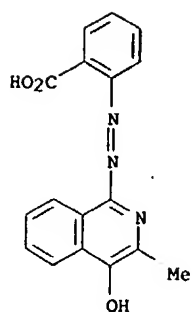
CN 2-Naphthalenecarboxamide, N-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]-1-hydroxy-4-[[[4-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



IT 70881-91-3 70881-92-4 70881-93-5
 70881-94-6 70881-95-7 70881-96-8
 70881-97-9 70882-04-1
 RL: PRP (Properties)
 (spectrum of)
 RN 70881-91-3 HCAPLUS
 CN Benzenesulfonamide, 2-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]- (9CI)
 (CA INDEX NAME)

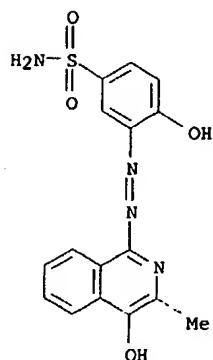


RN 70881-92-4 HCAPLUS
 CN Benzoic acid, 2-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]- (9CI) (CA
 INDEX NAME)



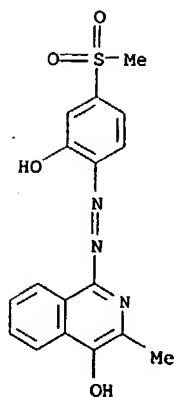
RN 70881-93-5 HCAPLUS

CN Benzenesulfonamide, 4-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-
(9CI) (CA INDEX NAME)



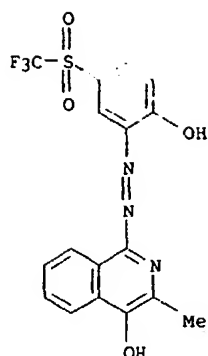
RN 70881-94-6 HCAPLUS

CN 4-Isoquinolinol, 1-[[2-hydroxy-4-(methylsulfonyl)phenyl]azo]-3-methyl-
(9CI) (CA INDEX NAME)

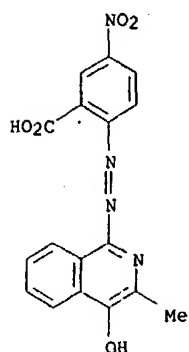


RN 70881-95-7 HCAPLUS

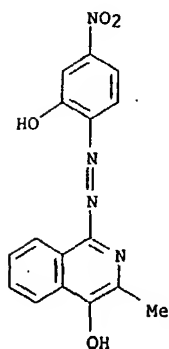
CN 4-Isoquinolinol, 1-[[2-hydroxy-5-[(trifluoromethyl)sulfonyl]phenyl]azo]-3-
methyl- (9CI) (CA INDEX NAME)



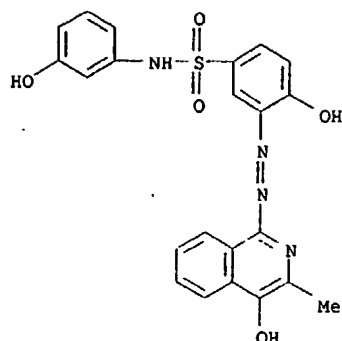
RN 70881-96-8 HCAPLUS
 CN Benzoic acid, 2-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-5-nitro- (9CI)
 (CA INDEX NAME)



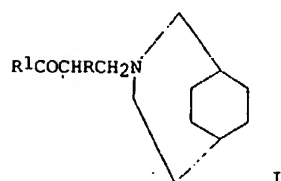
RN 70881-97-9 HCAPLUS
 CN 4-Isoquinolinol, 1-[(2-hydroxy-4-nitrophenyl)azo]-3-methyl- (9CI) (CA
 INDEX NAME)



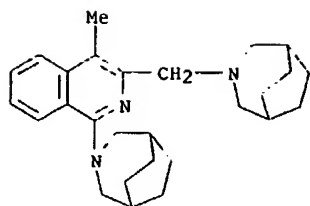
RN 70882-04-1 HCAPLUS
 CN Benzenesulfonamide, 4-hydroxy-3-[(4-hydroxy-3-methyl-1-isoquinolinyl)azo]-
 N-(3-hydroxyphenyl)- (9CI) (CA INDEX NAME)



L30 ANSWER 24 OF 35 HCAPLUS COPYRIGHT 2001 ACS
 AN 1977:601283 HCAPLUS
 DN 87:201283
 TI Synthesis and antitussive activity of 3-azabicyclo[3.2.2]nonane derivatives
 AU Arya, V. P.; Kaul, C. L.; Grewal, R. S.
 CS Ciba-Geigy Res. Cent., Bombay, India
 SO Arzneim.-Forsch. (1977), 27(9), 1648-52
 CODEN: ARZNAD
 DT Journal
 LA English
 GI



AB Mannich bases I (R = Me, H, Et, Pr; R1 = 4-FC6H4, 4-PhCH2OC6H4, 4-BrC6H4, 4-ClC6H4, 3-pyridyl, 3-indolyl, 2-thienyl), prepd. from the substituted acetophenones and propiophenones and 3-azabicyclo[3.2.2]nonane, were evaluated for antitussive activity. I (R = Me, R1 = 4-PhCH2OC6H4) (II) was as potent as codeine and dextromethorphan in its antitussive activity. II also exhibited antimorphine activity. There was no direct correlation between the antitussive effect and antimorphine activity.
 IT 64686-73-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 64686-73-3 HCAPLUS
 CN 3-Azabicyclo[3.2.2]nonane, 3-[3-[(3-azabicyclo[3.2.2]non-3-yl)methyl]-4-methyl-1-isoquinolinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

L30 ANSWER 25 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1976:560167 HCAPLUS

DN 85:160167

TI Piperazinoisoquinolines

PA Thomae, Dr. Karl, G.m.b.H., Ger.

SO Fr. Demande, 22 pp.

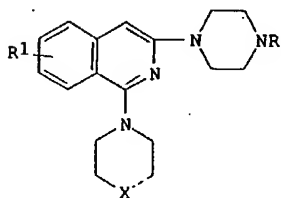
CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-----------------|------|----------|-----------------|--------------|
| PI | FR 2268524 | A1 | 19751121 | FR 1975-13095 | 19750425 <-- |
| | DE 2420012 | A1 | 19751120 | DE 1974-2420012 | 19740425 <-- |
| | DE 2420012 | B2 | 19790517 | | |
| | DE 2420012 | C3 | 19800110 | | |
| | DE 2503961 | A1 | 19760805 | DE 1975-2503961 | 19750131 <-- |
| | DE 2503961 | B2 | 19790705 | | |
| | DE 2503961 | C3 | 19800228 | | |
| | CH 615180 | A | 19800115 | CH 1979-1429 | 19790214 <-- |
| PRAI | DE 1974-2420012 | | 19740425 | | |
| | DE 1975-2503961 | | 19750131 | | |
| | CH 1975-5155 | | 19750423 | | |
| GI | | | | | |



I

AB Piperazinyloisoquinolines I (R = H, R1 = H, 5-Me, 5-Cl, 7-Cl, 5-F, 5-OMe, 5-NO2, X = S, SO; R = Ac, CHO, R1 = H, X = SO; R = Ac, CO2Et, Me, H, R1 = 5-Me, X = SO; R = H, Me, R1 = H, X = O; R = Ac, R1 = 5-NO2, X = S) were prepd. e. g. by treating 1,3-dichloroisoquinoline with the morpholine deriv. followed by treating with a piperazine deriv. I are platelet aggregation inhibitors. Thus in the test according to Morris I (R = H, R1 = 5-Cl, X = SO) gave 92% inhibition at 10⁻⁴ mole/l.

IT 60691-16-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and acylation of)

RN 60691-16-9 HCAPLUS

CN Isoquinoline, 5-nitro-3-(1-piperazinyl)-1-(4-thiomorpholinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L30 ANSWER 25 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1976:560167 HCAPLUS

DN 85:160167

TI Piperazinoisoquinolines

PA Thomae, Dr. Karl, G.m.b.H., Ger.

SO Fr. Demande, 22 pp.

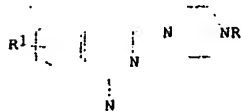
CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-----------------|------|----------|-----------------|--------------|
| PI | FR 2268524 | A1 | 19751121 | FR 1975-13095 | 19750425 <-- |
| | DE 2420012 | A1 | 19751120 | DE 1974-2420012 | 19740425 <-- |
| | DE 2420012 | B2 | 19790517 | | |
| | DE 2420012 | C3 | 19800110 | | |
| | DE 2503961 | A1 | 19760805 | DE 1975-2503961 | 19750131 <-- |
| | DE 2503961 | B2 | 19790705 | | |
| | DE 2503961 | C3 | 19800228 | | |
| | CH 615180 | A | 19800115 | CH 1979-1429 | 19790214 <-- |
| PRAI | DE 1974-2420012 | | 19740425 | | |
| | DE 1975-2503961 | | 19750131 | | |
| GI | CH 1975-5155 | | 19750423 | | |



X I

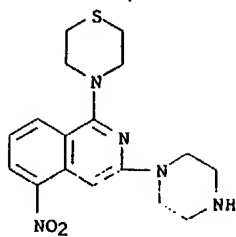
AB Piperazinyliisoquinolines I (R = H, R1 = H, 5-Me, 5-Cl, 7-Cl, 5-F, 5-OMe, 5-NO2, X = S, SO; R = Ac, CHO, R1 = H, X = SO; R = Ac, CO2Et, Me, H, R1 = 5-Me, X = SO; R = H, Me, R1 = H, X = O; R = Ac, R1 = 5-NO2, X = S) were prepd. e. g. by treating 1,3-dichloroisoquinoline with the morpholine deriv. followed by treating with a piperazine deriv. I are platelet aggregation inhibitors. Thus in the test according to Morris I (R = H, R1 = 5-Cl, X = SO) gave 92% inhibition at 10⁻⁴ mole/l.

IT 60691-16-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Préparation) (prepn. and acylation of)

RN 60691-16-9 HCAPLUS

CN Isoquinoline, 5-nitro-3-(1-piperazinyl)-1-(4-thiomorpholinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



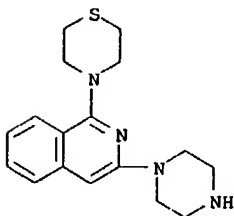
● HCl

IT 58138-21-9P 60691-07-8P 60691-10-3P
60691-12-5P 60691-13-6P 60691-15-8P
60691-17-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and oxidn. of)

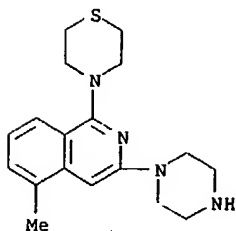
RN 58138-21-9 HCAPLUS

CN Isoquinoline, 3-(1-piperazinyl)-1-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



RN 60691-07-8 HCAPLUS

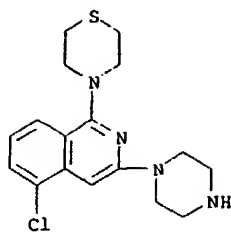
CN Isoquinoline, 5-methyl-3-(1-piperazinyl)-1-(4-thiomorpholinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 60691-10-3 HCAPLUS

CN Isoquinoline, 5-chloro-3-(1-piperazinyl)-1-(4-thiomorpholinyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

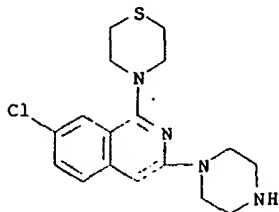


● HCl

RN 60691-12-5 HCAPLUS
CN Isoquinoline, 7-chloro-3-(1-piperazinyl)-1-(4-thiomorpholinyl)-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

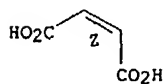
CRN 60691-11-4
CMF C17 H21 Cl N4 S



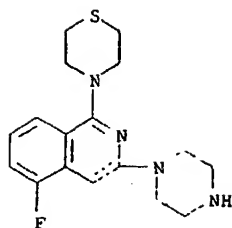
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



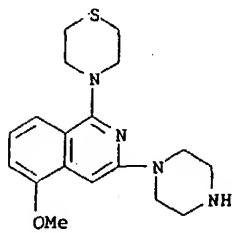
RN 60691-13-6 HCAPLUS
CN Isoquinoline, 5-fluoro-3-(1-piperazinyl)-1-(4-thiomorpholinyl)- (9CI) (CA
INDEX NAME)



RN 60691-15-8 HCAPLUS
 CN Isoquinoline, 5-methoxy-3-(1-piperazinyl)-1-(4-thiomorpholinyl)-, sulfate
 (2:1) (9CI) (CA INDEX NAME)

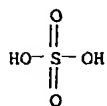
CM 1

CRN 60691-14-7
 CMF C18 H24 N4 O S

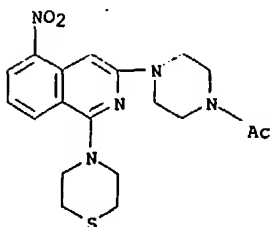


CM 2

CRN 7664-93-9
 CMF H2 O4 S



RN 60691-17-0 HCAPLUS
 CN Piperazine, 1-acetyl-4-[5-nitro-1-(4-thiomorpholinyl)-3-isoquinolinyl]-
 (9CI) (CA INDEX NAME)



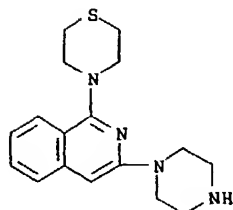
IT 58138-22-0P 58138-25-3P 60691-09-0P

PATEL 09/852,850

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and platelet aggregation inhibiting activity of)
RN 58138-22-0 HCAPLUS
CN Isoquinoline, 3-(1-piperazinyl)-1-(4-thiomorpholinyl)-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

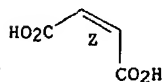
CRN 58138-21-9
CMF C17 H22 N4 S



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:2

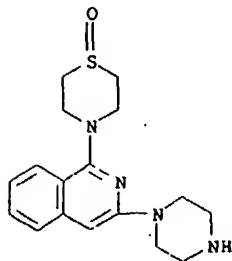
Double bond geometry as shown.



RN 58138-25-3 HCAPLUS
CN Isoquinoline, 1-(1-oxido-4-thiomorpholinyl)-3-(1-piperazinyl)-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

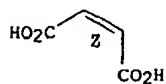
CRN 58138-24-2
CMF C17 H22 N4 O S



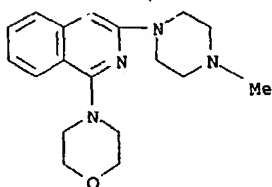
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:2

Double bond geometry as shown.

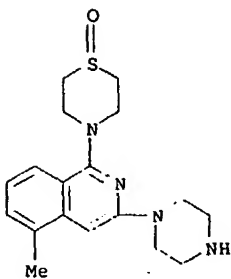


RN 60691-09-0 HCAPLUS
CN Isoquinoline, 3-(4-methyl-1-piperazinyl)-1-(4-morpholinyl)- (9CI) (CA INDEX NAME)

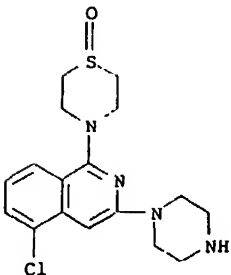


IT 60691-18-1P 60691-19-2P 60691-22-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and platelet aggregation-inhibiting activity of)

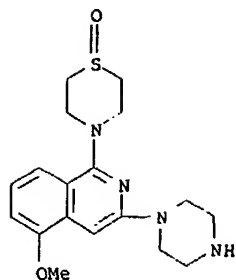
RN 60691-18-1 HCAPLUS
CN Isoquinoline, 5-methyl-1-(1-oxido-4-thiomorpholinyl)-3-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 60691-19-2 HCAPLUS
CN Isoquinoline, 5-chloro-1-(1-oxido-4-thiomorpholinyl)-3-(1-piperazinyl)- (9CI) (CA INDEX NAME)

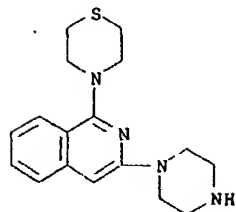


RN 60691-22-7 HCAPLUS
CN Isoquinoline, 5-methoxy-1-(1-oxido-4-thiomorpholinyl)-3-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



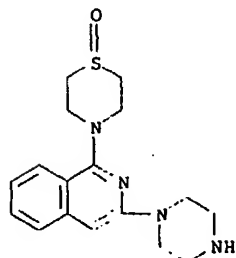
● HCl

IT 58138-23-1P 58138-24-2P 60691-08-9P
 60691-20-5P 60691-21-6P 60691-24-9P
 60691-25-0P 60691-26-1P 60691-27-2P
 60691-28-3P 60691-29-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 58138-23-1 HCAPLUS
 CN Isoquinoline, 3-(1-piperazinyl)-1-(4-thiomorpholinyl)-, monohydrochloride
 (9CI) (CA INDEX NAME)



● HCl

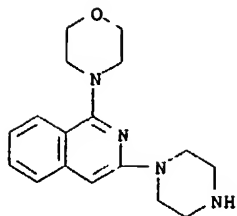
RN 58138-24-2 HCAPLUS
 CN Isoquinoline, 1-(1-oxido-4-thiomorpholinyl)-3-(1-piperazinyl)- (9CI) (CA
 INDEX NAME)



RN 60691-08-9 HCAPLUS
 CN Isoquinoline, 1-(4-morpholinyl)-3-(1-piperazinyl)-, monohydrochloride

PATEL 09/852,850

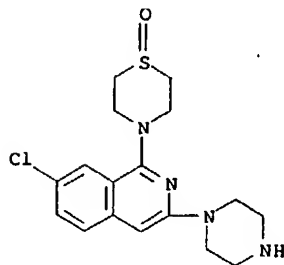
(9CI) (CA INDEX NAME)



● HCl

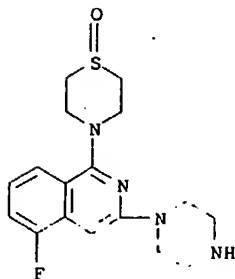
RN 60691-20-5 HCAPLUS

CN Isoquinoline, 7-chloro-1-(1-oxido-4-thiomorpholinyl)-3-(1-piperazinyl)-
(9CI) (CA INDEX NAME)



RN 60691-21-6 HCAPLUS

CN Isoquinoline, 5-fluoro-1-(1-oxido-4-thiomorpholinyl)-3-(1-piperazinyl)-
(9CI) (CA INDEX NAME)



RN 60691-24-9 HCAPLUS

CN Isoquinoline, 5-nitro-1-(1-oxido-4-thiomorpholinyl)-3-(1-piperazinyl)-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

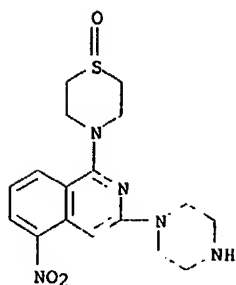
CM 1

CRN 60691-23-8

CMF C17 H21 N5 O3 S

SEARCHED BY SUSAN HANLEY Phone: 305-4053

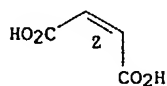
Page 49



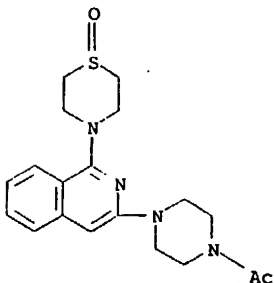
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:2

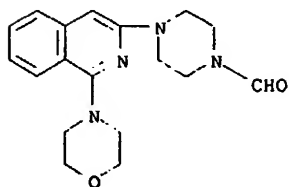
Double bond geometry as shown.



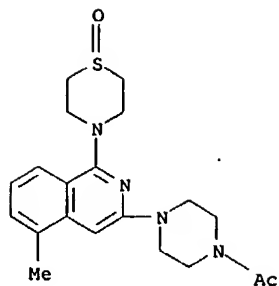
RN 60691-25-0 HCAPLUS
CN Piperazine, 1-acetyl-4-[1-(1-oxido-4-thiomorpholinyl)-3-isoquinoliny]-
(9CI) (CA INDEX NAME)



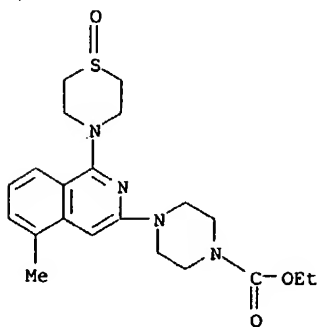
RN 60691-26-1 HCAPLUS
CN 1-Piperazinecarboxaldehyde, 4-[1-(4-morpholinyl)-3-isoquinoliny]- (9CI)
(CA INDEX NAME)



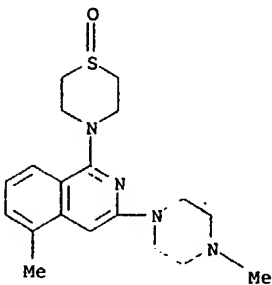
RN 60691-27-2 HCAPLUS
CN Piperazine, 1-acetyl-4-[5-methyl-1-(1-oxido-4-thiomorpholinyl)-3-
isoquinoliny]- (9CI) (CA INDEX NAME)



RN 60691-28-3 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[5-methyl-1-(1-oxido-4-thiomorpholinyl)-3-isoquinolinyl]-, ethyl ester (9CI) (CA INDEX NAME)



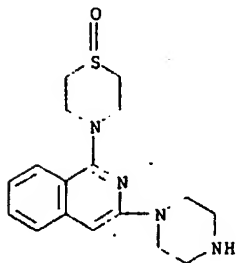
RN 60691-29-4 HCAPLUS
 CN Isoquinoline, 5-methyl-3-(4-methyl-1-piperazinyl)-1-(1-oxido-4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



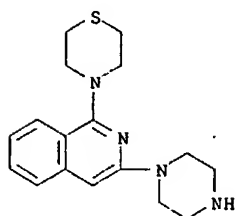
L30 ANSWER 26 OF 35 HCAPLUS COPYRIGHT 2001 ACS
 AN 1976:59571 HCAPLUS
 DN 84:59571
 TI Isoquinolines
 IN Nickl, Josef; Mueller, Erich; Schroeter, Wolfgang; Haarmann, Walter
 PA Thomae, Dr. Karl, G.m.b.H., Ger.
 SO Ger. Offen., 18 pp.
 CODEN: GWXXBX
 DT Patent
 LA German

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|--------------|
| PI | DE 2420012 | A1 | 19751120 | DE 1974-2420012 | 19740425 <-- |
| | DE 2420012 | B2 | 19790517 | | |
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| | AT 7501639 | A | 19770715 | AT 1975-1639 | 19750304 <-- |
| | NL 7504016 | A | 19751028 | NL 1975-4016 | 19750404 <-- |
| | ES 436317 | A1 | 19770201 | ES 1975-436317 | 19750404 <-- |
| | FI 7501067 | A | 19751026 | FI 1975-1067 | 19750409 <-- |
| | FI 61882 | B | 19820630 | | |
| | FI 61882 | C | 19821011 | | |
| | DK 7501579 | A | 19751026 | DK 1975-1579 | 19750411 <-- |
| | DK 140841 | B | 19791126 | | |
| | DK 140841 | C | 19800505 | | |
| | US 3975524 | A | 19760817 | US 1975-567234 | 19750411 <-- |
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| | DD 119047 | C | 19760405 | DD 1975-185646 | 19750423 <-- |
| | RO 66020 | B | 19790815 | RO 1975-82052 | 19750423 <-- |
| | RO 66020 | P | 19800615 | | |
| | CH 613965 | A | 19791031 | CH 1975-5155 | 19750423 <-- |
| | BE 828355 | A1 | 19751024 | BE 1975-155746 | 19750424 <-- |
| | NO 7501473 | A | 19751028 | NO 1975-1473 | 19750424 <-- |
| | NO 142403 | B | 19800505 | | |
| | NO 142403 | C | 19800813 | | |
| | JP 50142578 | A2 | 19751117 | JP 1975-50160 | 19750424 <-- |
| | JP 58004020 | B4 | 19830124 | | |
| | AU 7580511 | A1 | 19761028 | AU 1975-80511 | 19750424 <-- |
| | ZA 7502649 | A | 19761229 | ZA 1975-2649 | 19750424 <-- |
| | GB 1466227 | A | 19770302 | GB 1975-17085 | 19750424 <-- |
| | HU 170231 | P | 19770428 | HU 1975-T01001 | 19750424 <-- |
| | PL 93821 | P | 19770630 | PL 1975-179891 | 19750424 <-- |
| | IL 47155 | A1 | 19780310 | IL 1975-47155 | 19750424 <-- |
| | SE 404926 | B | 19781106 | SE 1975-4779 | 19750424 <-- |
| | SE 404926 | C | 19790215 | | |
| | CA 1051893 | A1 | 19790403 | CA 1975-225579 | 19750424 <-- |
| | FR 2268524 | A1 | 19751121 | FR 1975-13095 | 19750425 <-- |
| | CS 193512 | P | 19791031 | CS 1975-2918 | 19750425 <-- |
| | ES 439038 | A1 | 19770201 | ES 1975-439038 | 19750701 <-- |
| PRAI | DE 1974-2420012 | | 19740425 | | |
| | DE 1974-2403961 | | 19750131 | | |
| | DE 1975-2503961 | | 19750131 | | |
| GI | For diagram(s), see printed CA Issue. | | | | |
| AB | Isoquinolines I (n = 0,1) were prepd. by treating 1,3-dichloroisoquinoline with thiomorpholine or its S-oxide and piperazine. I (n = 0) was also oxidized to I (n = 1) with H2O2. At 3 times, 10-5 mole/l I inhibited thrombocyte aggregation in the thrombocyte stickiness test by 18 and 33% resp. | | | | |
| IT | 58138-24-2P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and thrombocyte aggregation inhibiting activity of) | | | | |
| RN | 58138-24-2 HCAPLUS | | | | |
| CN | Isoquinoline, 1-(1-oxido-4-thiomorpholinyl)-3-(1-piperazinyl)- (9CI) (CA INDEX NAME) | | | | |

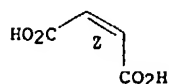


IT 58138-22-0P 58138-23-1P 58138-25-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 58138-22-0 HCAPLUS
 CN Isoquinoline, 3-(1-piperazinyl)-1-(4-thiomorpholinyl)-,
 (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)
 CM 1
 CRN 58138-21-9
 CMF C17 H22 N4 S

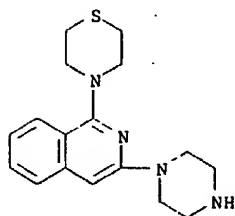


CM 2
 CRN 110-16-7
 CMF C4 H4 O4
 CDES 2:2

Double bond geometry as shown.

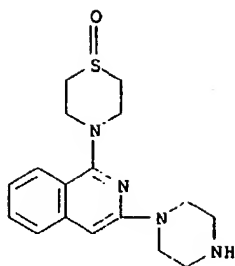


RN 58138-23-1 HCAPLUS
 CN Isoquinoline, 3-(1-piperazinyl)-1-(4-thiomorpholinyl)-, monohydrochloride
 (9CI) (CA INDEX NAME)



● HCl

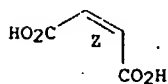
RN 58138-25-3 HCAPLUS
 CN Isoquinoline, 1-(1-oxido-4-thiomorpholinyl)-3-(1-piperazinyl)-,
 (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)
 CM 1
 CRN 58138-24-2
 CMF C17 H22 N4 O S



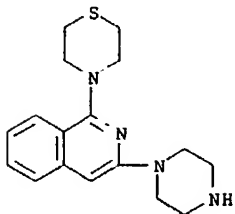
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



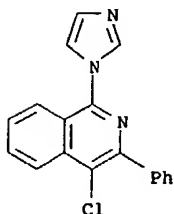
IT 58138-21-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., oxidn., and thrombocyte aggregation inhibiting activity of)
RN 58138-21-9 HCAPLUS
CN Isoquinoline, 3-(1-piperazinyl)-1-(4-thiomorpholinyl)- (9CI) (CA INDEX
NAME)



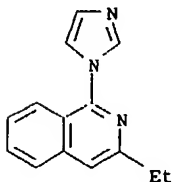
L30 ANSWER 27 OF 35 HCAPLUS COPYRIGHT 2001 ACS
AN 1975:16836 HCAPLUS
DN 82:16836
TI Hypolipemic and hypoglycemic 1-(1-imidazolyl)isoquinolines
IN Lerch, Ulrich; Granzer, Ernold
PA Farbwerke Hoechst A.-G.
SO Ger. Offen., 34 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|--------------|
| PI | DE 2314985 | A1 | 19741017 | DE 1973-2314985 | 19730326 <-- |
| | ES 424436 | A1 | 19761101 | ES 1974-424436 | 19740320 <-- |
| | GB 1464289 | A | 19770209 | GB 1974-12861 | 19740322 <-- |
| | ZA 7401917 | A | 19750326 | ZA 1974-1917 | 19740325 <-- |
| | DD 114607 | C | 19750812 | DD 1974-177438 | 19740325 <-- |

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| AU 7467098 | A1 | 19750925 | AU 1974-67098 | 19740325 <-- |
| US 3914236 | A | 19751021 | US 1974-454713 | 19740325 <-- |
| HU 168524 | P | 19760528 | HU 1974-H01659 | 19740325 <-- |
| AT 7402452 | A | 19761015 | AT 1974-2452 | 19740325 <-- |
| AT 337183 | B | 19770610 | | |
| BE 812841 | A1 | 19740926 | BE 1974-142458 | 19740326 <-- |
| FR 2223024 | A1 | 19741025 | FR 1974-10396 | 19740326 <-- |
| JP 49126684 | A2 | 19741204 | JP 1974-33183 | 19740326 <-- |
| US 3961062 | A | 19760601 | US 1975-562048 | 19750326 <-- |
| PRAI DE 1973-2314985 | | 19730326 | | |
| DE 1973-7314985 | | 19730326 | | |
| US 1974-454713 | | 19740325 | | |
| GI | For diagram(s), see printed CA Issue. | | | |
| AB | Nineteen imidazolyl-isoquinolines I (R = H, Cl, Ph, or Et; R1 = H, Ph, cyclohexyl, Et, Bu, or Cl; R2, R3, R4 = H, Ph, or Me) and (or) their salts, e.g. hydrochlorides, were prepd. by reaction of the corresponding 1-chloroisoquinolines with the imidazoles in the presence of NaH or KOH or Bu3N in, e.g., (MeOCH2)2 or DMF. I had hypolipemic and hypoglycemic activities in rats and rabbits. | | | |
| IT | 55150-98-6P 55151-06-9P 55151-07-0P 55151-08-1P 55151-09-2P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and hypoglycemic and hypolipemic activity of) | | | |
| RN | 55150-98-6 HCAPLUS | | | |
| CN | Isoquinoline, 4-chloro-1-(1H-imidazol-1-yl)-3-phenyl- (9CI) (CA INDEX NAME) | | | |

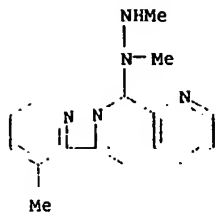


RN 55151-06-9 HCAPLUS
CN Isoquinoline, 3-ethyl-1-(1H-imidazol-1-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

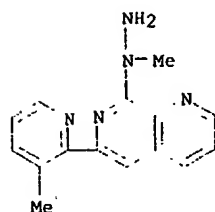


● HCl

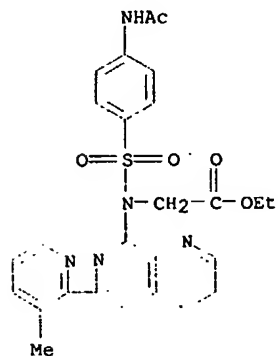
RN 55151-07-0 HCAPLUS
CN Isoquinoline, 4-chloro-3-ethyl-1-(1H-imidazol-1-yl)-, phosphate (9CI) (CA INDEX NAME)
CM I
CRN 55150-96-4
CMF C14 H12 Cl N3



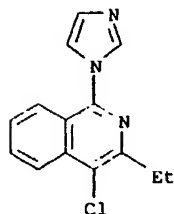
RN 200928-22-9 HCAPLUS
 CN 1,7-Naphthyridine, 8-(1-methylhydrazino)-6-(3-methyl-2-pyridinyl)- (9CI)
 (CA INDEX NAME)



RN 200928-24-1 HCAPLUS
 CN Glycine, N-[[4-(acetylamino)phenyl]sulfonyl]-N-{6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl}-, ethyl ester (9CI) (CA INDEX NAME)

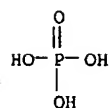


L30 ANSWER 2 OF 35 HCAPLUS COPYRIGHT 2001 ACS
 AN 1997:498744 HCAPLUS
 DN 127:190707
 TI Synthesis and antitumor activity of 3-arylisquinoline derivatives
 AU Cho, Won-Jea; Yoo, Su-Jeong; Park, Myun-Ji; Chung, Byung-Ho; Lee, Chong-Ock
 CS College of Pharmacy, Chonnam National University, Kwangju, 500-757, S. Korea
 SO Arch. Pharmacol Res. (1997), 20(3), 264-268
 CODEN: APHRDQ; ISSN: 0253-6269
 PB Pharmaceutical Society of Korea
 DT Journal
 LA English
 GI



CM 2

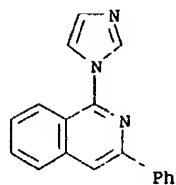
CRN 7664-38-2
CMF H3 O4 P



RN 55151-08-1 HCAPLUS
CN Isoquinoline, 1-(1H-imidazol-1-yl)-3-phenyl-, ethanedioate (9CI) (CA INDEX NAME)

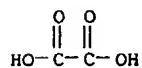
CM 1

CRN 55150-97-5
CMF C18 H13 N3



CM 2

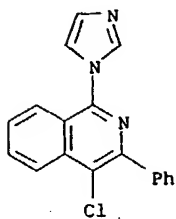
CRN 144-62-7
CMF C2 H2 O4



RN 55151-09-2 HCAPLUS
CN Isoquinoline, 4-chloro-1-(1H-imidazol-1-yl)-3-phenyl-, ethanedioate (9CI) (CA INDEX NAME)

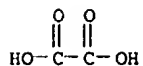
CM 1

CRN 55150-98-6
CMF C18 H12 Cl N3

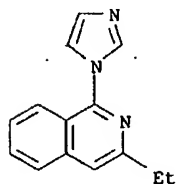


CM 2

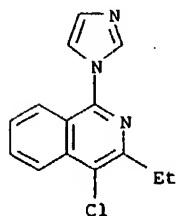
CRN 144-62-7
CMF C2 H2 O4



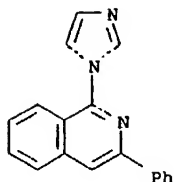
IT 55150-95-3P 55150-96-4P 55150-97-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of hypoglycemic and hypolipemic)
RN 55150-95-3 HCAPLUS
CN Isoquinoline, 3-ethyl-1-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



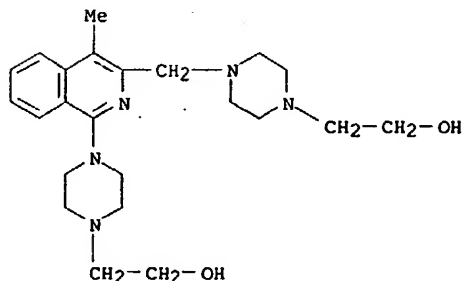
RN 55150-96-4 HCAPLUS
CN Isoquinoline, 4-chloro-3-ethyl-1-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



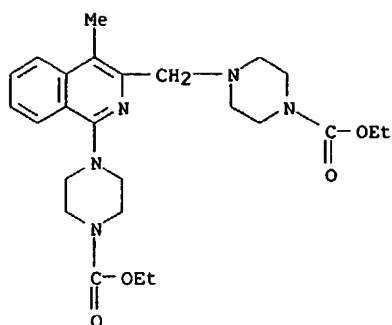
RN 55150-97-5 HCAPLUS
CN Isoquinoline, 1-(1H-imidazol-1-yl)-3-phenyl- (9CI) (CA INDEX NAME)



L30 ANSWER 28 OF 35 HCAPLUS COPYRIGHT 2001 ACS
 AN 1972:564414 HCAPLUS
 DN 77:164414
 TI Reactions of 1-chloro-3-chloromethyl-4-methylisoquinoline
 AU Nair, M. D.
 CS Ciba Res. Cent., Bombay, India
 SO Indian J. Chem. (1972), 10(4), 337-40
 CODEN: IJOCAP
 DT Journal
 LA English
 GI For diagram(s), see printed CA Issue.
 AB With secondary bases 1-chloro-3-(chloromethyl)-4-methylisoquinoline (I) gave mono or disubstitution products in which the Cl in positions 1 or 3, or both was replaced. In 1-chloro-3-[(2-methylpiperidino)-methyl]-4-methylisoquinoline there was NMR evidence for non-equivalence of benzylic methylene protons from the asymmetry of the 2-Me substituent on piperidine. Reaction of I with piper-azine gave a bis condensation product, II, with NH₃ and 4-(.gamma.-aminopropyl)morpholine III and IV were obtained, resp. Nitration of I gave the corresponding 5-NO₂ deriv., reaction of which with bases gave mono or disubstituted products, depending on reaction conditions.
 IT 14576-16-0P 14576-17-1P 14577-67-4P
 14657-46-6P 14657-48-8P 14657-49-9P
 14657-50-2P 14657-51-3P 14657-52-4P
 18716-17-1P 37978-50-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 14576-16-0 HCAPLUS
 CN 1-Piperazineethanol, 4-[[1-[4-(2-hydroxyethyl)-1-piperazinyl]-4-methyl-3-isoquinolinyl]methyl]- (9CI) (CA INDEX NAME)

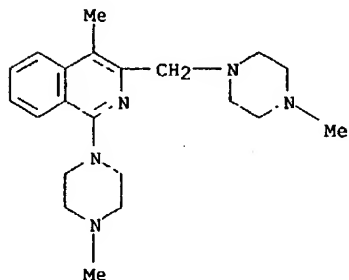


RN 14576-17-1 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[1-[4-(ethoxycarbonyl)-1-piperazinyl]-4-methyl-3-isoquinolinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



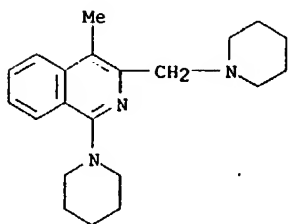
RN 14577-67-4 HCAPLUS

CN Isoquinoline, 4-methyl-1-((4-methyl-1-piperazinyl)methyl)-3-((4-methyl-1-piperazinyl)methyl)- (8CI, 9CI) (CA INDEX NAME)



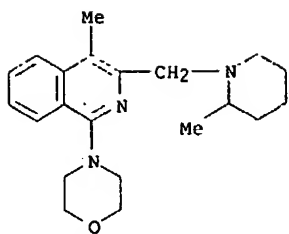
RN 14657-46-6 HCAPLUS

CN Isoquinoline, 4-methyl-1-(1-piperidinyll)-3-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)



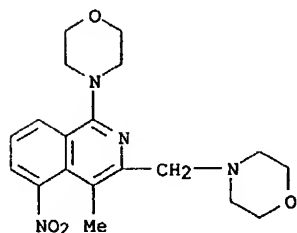
RN 14657-48-8 HCAPLUS

CN Isoquinoline, 4-methyl-3-((2-methyl-1-piperidinyll)methyl)-1-(4-morpholinyl)- (9CI) (CA INDEX NAME)



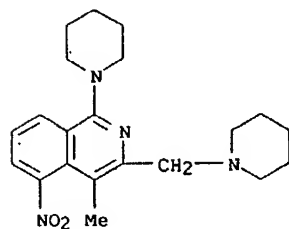
RN 14657-49-9 HCAPLUS

CN Isoquinoline, 4-methyl-1-(4-morpholinyl)-3-(4-morpholinylmethyl)-5-nitro- (9CI) (CA INDEX NAME)



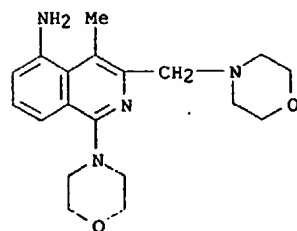
RN 14657-50-2 HCAPLUS

CN Isoquinoline, 4-methyl-5-nitro-1-(1-piperidinyl)-3-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)



RN 14657-51-3 HCAPLUS

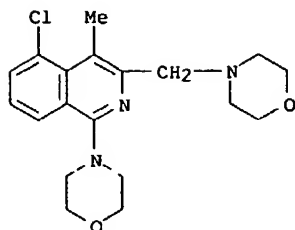
CN 5-Isoquinolinamine, 4-methyl-1-(4-morpholinyl)-3-(4-morpholinylmethyl)- (9CI) (CA INDEX NAME)



RN 14657-52-4 HCAPLUS

CN Isoquinoline, 5-chloro-4-methyl-1-(4-morpholinyl)-3-(4-morpholinylmethyl)-

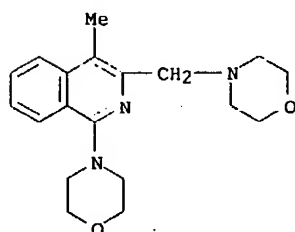
(9CI) (CA INDEX NAME)



RN 18716-17-1 HCAPLUS
CN Isoquinoline, 4-methyl-1-(4-morpholinyl)-3-(4-morpholinylmethyl)-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

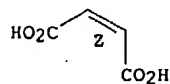
CRN 18704-39-7
CMF C19 H25 N3 O2



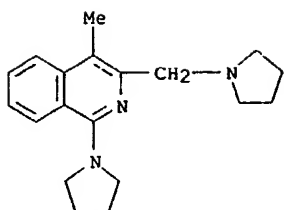
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.

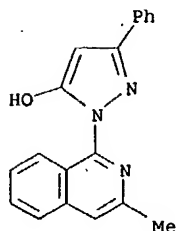


RN 37978-50-0 HCAPLUS
CN Isoquinoline, 4-methyl-1-(1-pyrrolidinyl)-3-(1-pyrrolidinylmethyl)-,
trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

L30 ANSWER 29 OF 35 HCAPLUS COPYRIGHT 2001 ACS
 AN 1971:544847 HCAPLUS
 DN 75:144847
 TI Crystal structure of 5-hydroxy-3-phenyl-1-(3-methyl-1-isoquinolyl)pyrazole
 AU King, Geoffrey S. D.; Reimlinger, Hans
 CS Union Carbide Eur. Res. Assoc., Brussels, Belg.
 SO Chem. Ber. (1971), 104(9), 2694-701
 CODEN: CHBEAM
 DT Journal
 LA German
 AB An x-ray crystal structure detn. of the title compd. (I) proved that I is the product of the reaction of PhC.tplbond.CCO2Me with 1-hydrazino-3-methylisoquinoline. I crystd. orthorhombic with a 43.26, b 12.626, c 5.546 .ANG., d.(exptl.) 1.32, d.(calcd.) 1.321, and space group P212121, and the asym. unit contained 2 independent mols.
 IT 34274-79-8
 RL: PRP (Properties)
 (crystal structure of)
 RN 34274-79-8 HCAPLUS
 CN Pyrazol-5-ol, 1-(3-methyl-1-isoquinolyl)-3-phenyl- (8CI) (CA INDEX NAME)



L30 ANSWER 30 OF 35 HCAPLUS COPYRIGHT 2001 ACS
 AN 1970:425363 HCAPLUS
 DN 73:25363
 TI Condensed isoquinolines. I. Syntheses of s-triazolo[3,4-a]isoquinolines
 AU Reimlinger, Hans; Vandewalle, Jan J. M.; Lingier, Willy R. F.
 CS Union Carbide European Res. Assoc., Brussels, Belg.
 SO Chem. Ber. (1970), 103(6), 1960-81
 CODEN: CHBEAM
 DT Journal
 LA German
 GI For diagram(s), see printed CA Issue.
 AB Hexasubstituted s-triazolo[3,4-a]isoquinolines(I) [where R = H, Me, CH2CO2Et, CH2NHBz, CH2CH2Cl, CO2Et, CF3, CH2CH2NHBz, o-ClC6H4, Et, CH2CH2CO2H, Ph, HC:CPh, CH:CHPh.HCl, 3-indolylmethyl, CH2C6H3(OMe)2-3,4, n-C17H35, CH2CONHt, CH2CONHMe, CH2CONMe2, CH2CH2OH, CH2CO2H, CHPh2, NHPh, cyclohexylamino, 1-pyridyl, or 4-pyridyl; R1 = H or Cl; R2, R4 = H or MeO;

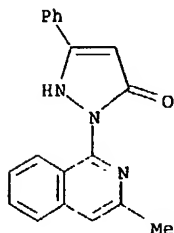
R3 = H or NO₂; and R5 = H, Cl, or OMe] were prepd. by 1 or more of several methods: (a) by reaction of 1,4-dichloroisoquinoline (II) with N₂H₄ and RCO₂Bu, (b) treatment of 1-hydrazinoisoquinoline with RCOCl, (c) reaction of II with NH₂NHCOR, or (d) treatment of 1-(2-(RCO-substituted)hydrazino)isoquinoline with SOCl₂. Reaction of 1-hydrazino-3,4-(R₁-disubstituted)isoquinolines (III) with Cl₂C:X yielded disubstituted 1,2-dihydro-s-triazolo[3,4-a]isoquinolines (IV) (where R = H, Cl, or Me, R₁ = H or Cl, and X = O, S, NH, or NBz).

IT 27319-97-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 27319-97-7 HCAPLUS

CN 3-Pyrazolin-5-one, 1-(3-methyl-1-isoquinoly)-3-phenyl- (8CI) (CA INDEX NAME)



L30 ANSWER 31 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1968:435972 HCAPLUS

DN 69:35972

TI 4-Methylisoquinolines

IN Aebi, Albert; Nair, Mohan D.; Bucher, Karl

PA CIBA Ltd.

SO Swiss, 6 pp.

CODEN: SWXXAS

DT Patent

LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|--------------|
| PI | CH 438308 | | 19671215 | CH | 19630221 <-- |

GI For diagram(s), see printed CA Issue.

AB The title compds. are prepd. by treating 1-chloro-3-chloromethyl-4-methylisoquinoline (I) or its substituted derivs. with secondary amines. Thus, 1.55 g. I and 5 ml. morpholine was heated overnight in a pressure vessel at 150.degree.. The cryst. suspension was then evapd. to dryness, taken up in CHCl₃, extd. 2 times with dil. aq. HCl, and the aq. exts. adjusted to pH 8-9 with NaOH. The oil which sepd. gradually crystd., and was sepd. and recrystd. from iso-PrOH to give II (R = H and R₁ = morpholino), m. 100.degree.; dihydrochloride m. 229-32.degree. (decompn.) and maleate m. 173-5.degree.. Other II similarly prepd. are shown in the table. The starting material for II (R = NO₂) was prepd. by treating I with concd. H₂SO₄ and fuming HNO₃ to give II (R = NO₂, R₁ = Cl), m. 104-5.degree.. A mixt. of 4 g. 1,7-dichloro-3-chloromethyl-4-methylisoquinoline (IV) and 50 ml. morpholine was refluxed 4 hrs., and excess morpholine was then removed under reduced pressure. [TABLE OMITTED] The residue was treated with aq. Na₂CO₃ until alk. and extd. with CHCl₃. The exts. were evapd. to give 7-chloro-4-methyl-1-morpholino-3-(morpholinomethyl)isoquinoline, which was purified by conversion to its maleate and then to the free base, m. 120.degree. (EtOH). IV was prepd. by treating 4,4-dimethylhomophthalimide with fuming HNO₃ and concd. H₂SO₄ at -10.degree. to give 4,4-dimethyl-7-nitrohomophthalimide, m. 209-11.degree.. Hydrogenation over Pd-C gave the 7-amino compd., m. 176-9.degree., which was diazotized and treated with CuCl to give the 7-chloro deriv., m. 200.degree.. Treatment with POCl₃ gave IV, m. 135.degree.. These compds. are used in pharmaceutical applications.

IT 14576-16-0P 14576-17-1P 14577-67-4P

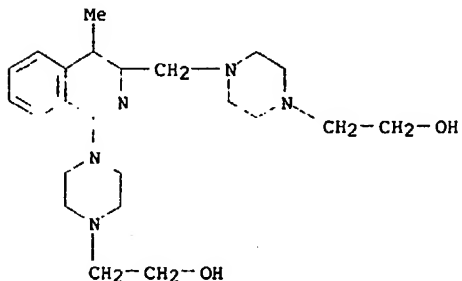
PATEL 09/852,850

14657-46-6P 14657-49-9P 14657-50-2P
14657-51-3P 14657-52-4P 14825-52-6P
18704-39-7P 18704-40-0P 18704-43-3P
18716-17-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

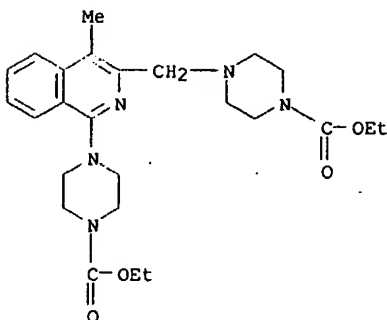
RN 14576-16-0 HCAPLUS

CN 1-Piperazineethanol, 4-[[1-[4-(2-hydroxyethyl)-1-piperazinyl]-4-methyl-3-isoquinolinyl]methyl]- (9CI) (CA INDEX NAME)



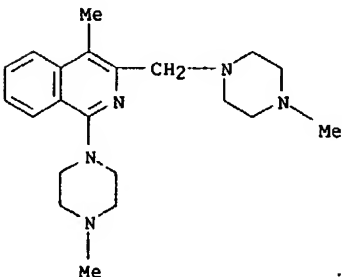
RN 14576-17-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[1-[4-(ethoxycarbonyl)-1-piperazinyl]-4-methyl-3-isoquinolinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 14577-67-4 HCAPLUS

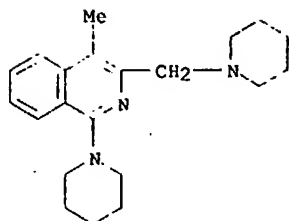
CN Isoquinoline, 4-methyl-1-[(4-methyl-1-piperazinyl)-3-[(4-methyl-1-piperazinyl)methyl]]- (8CI, 9CI) (CA INDEX NAME)



RN 14657-46-6 HCAPLUS

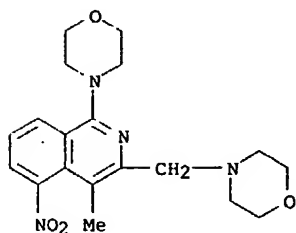
CN Isoquinoline, 4-methyl-1-(1-piperidinyl)-3-(1-piperidinylmethyl)- (9CI)

(CA INDEX NAME)



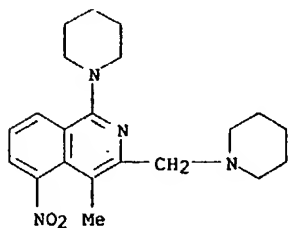
RN 14657-49-9 HCAPLUS

CN Isoquinoline, 4-methyl-1-(4-morpholinyl)-3-(4-morpholinylmethyl)-5-nitro-
(9CI) (CA INDEX NAME)



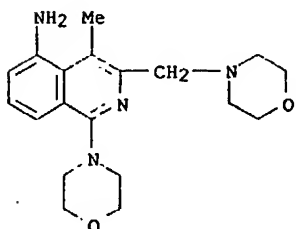
RN 14657-50-2 HCAPLUS

CN Isoquinoline, 4-methyl-5-nitro-1-(1-piperidinyl)-3-(1-piperidinylmethyl)-
(9CI) (CA INDEX NAME)



RN 14657-51-3 HCAPLUS

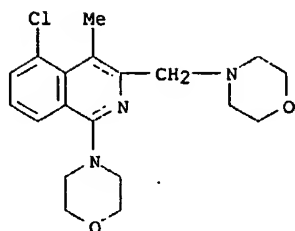
CN 5-Isoquinolinamine, 4-methyl-1-(4-morpholinyl)-3-(4-morpholinylmethyl)-
(9CI) (CA INDEX NAME)



RN 14657-52-4 HCAPLUS

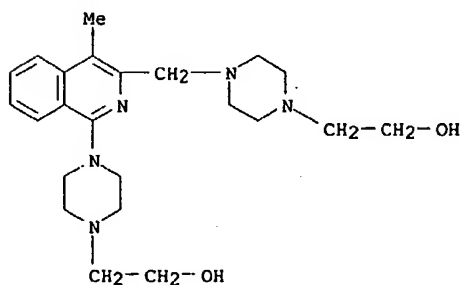
PATEL 09/852,850

- CN Isoquinoline, 5-chloro-4-methyl-1-(4-morpholinyl)-3-(4-morpholinylmethyl)-
(9CI) (CA INDEX NAME)



RN 14825-52-6 HCAPLUS

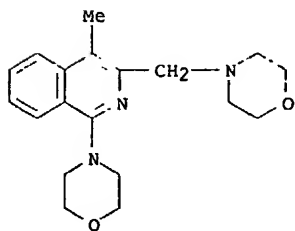
CN 1-Piperazineethanol, 4,4'-[methylene(4-methyl-3,1-isoquinolinediyl)]di-,
hydrochloride (8CI) (CA INDEX NAME)



● x HCl

RN 18704-39-7 HCAPLUS

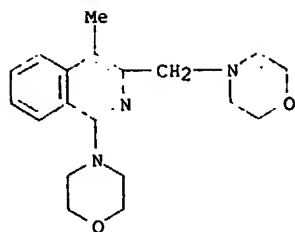
CN Isoquinoline, 4-methyl-1-morpholino-3-(morpholinomethyl)- (8CI) (CA INDEX
NAME)



RN 18704-40-0 HCAPLUS

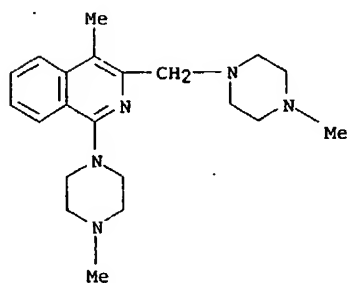
CN Isoquinoline, 4-methyl-1-morpholino-3-(morpholinomethyl)-, dihydrochloride
(8CI) (CA INDEX NAME)

PATEL 09/852,850



● 2 HCl

RN 18704-43-3 HCAPLUS
CN Isoquinoline, 4-methyl-1-((4-methyl-1-piperazinyl)-3-[(4-methyl-1-piperazinyl)methyl]-, monohydrochloride (8CI) (CA INDEX NAME)

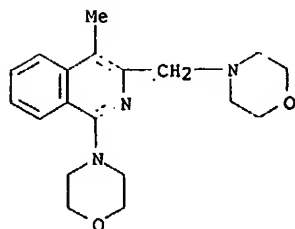


● HCl

RN 18716-17-1 HCAPLUS
CN Isoquinoline, 4-methyl-1-(4-morpholinyl)-3-(4-morpholinylmethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 18704-39-7
CMF C19 H25 N3 O2

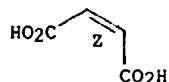


CM 2

CRN 110-16-7
CMF C4 H4 O4

CDES 2:Z - - -

Double bond geometry as shown.



L30 ANSWER 32 OF 35 HCAPLUS COPYRIGHT 2001 ACS
 AN 1968:418996 HCAPLUS
 DN 69:18996
 TI 3-Chloroisocarbostyryl and its chlorination products
 AU Nair, M. D.; Mehta, S. R.
 CS CIBA Res. Centre, Goregaon, India
 SO Indian J. Chem. (1967), 5(10), 467-70
 CODEN: IJOCAP
 DT Journal
 LA English
 GI For diagram(s), see printed CA Issue.
 AB A mixt. of 10 g. dried homophthalimide (I) and 24 ml. POCl₃ was refluxed 14 hrs. (anhyd. conditions) at 170.degree., the soln. cooled and EtOH added to yield 8 g. 3-chlorocarbostyryl (II), m. 219-20.degree. (EtOH). Methylation of I with MeI and alc. KOH soln. yielded 2-methyl-3-chloroisocarbostyryl (III), m. 109-10.degree. (EtOH). III was also prepd. by heating 1 hr. 15 g. N-methylhomophthalimide, 30 ml. POCl₃, and 1 ml. H₂O at 170.degree. (oil-bath) and working up of the reaction mixt. A mixt. of 60 g. homophthalic acid and 100 ml. iso-PrNH₂ and 20 ml. H₂O was evapd. to dryness in vacuo, the residue mixed with 150 ml. o-Cl₂C₆H₄ and heated overnight at 170.degree. to yield 52 g. N-isopropylhomophthalimide (IV), m. 88-9.degree. (EtOH). A mixt. of 8 g. IV, 24 ml. POCl₃, and 1 ml. concd. HCl was heated 1 hr. at 170.degree. to yield 4 g. 1,3-dichloroisquinoline, m. 121-2.degree.. II was treated with a no. of amines to give 3-aminoisocarbostyryls (V). Thus, II was mixed with approx. 5 times its wt. of secondary amine, and the mixt. heated 8 hrs. at 150.degree. in a bomb tube to yield the following V (R = H) (Rl, % yield, and m.p. given): morpholino, 39, 212.degree. (CHCl₃-petroleum ether); pyrrolidino, 58, 238-41.degree. (decompn.); piperidino, 51.5, 195-7.degree. (CHCl₃-petroleum ether); N-methylpiperazino, 47, 212.degree.; hexamethylenimino, 59.5, 177-9.degree.; N-carbethoxypiperazino, 44.7, 196-7.degree.; 4-methylpiperidino, 55.7, 230-2.degree.; tetrahydroisquinolino, 54.5, 217-18.degree.; N-(.beta.-hydroxyethyl)-piperazino (Va), 37.5, 205-7.degree.. Also prepd. was 19.8% V (R = Me, Rl = morpholino), m. 131-2.degree.. A mixt. of 2 g. 3-[N4-(.beta.-hydroxyethyl)piperazino]isocarbostyryl (Va) and 10 ml. POCl₃ was refluxed 3 hrs. to yield 2.4 g. 1-chloro-3-[N4-(.beta.-chloroethyl)-piperazino]isquinoline (VI) HCl salt, m. 300.degree. (EtOH-ether). VI (3.2 g.) on refluxing 18 hrs. with 15 ml. morpholine yielded 1-morpholino-3-[N4-(.beta.-morpholinoethyl)piperazino]isquinoline, m. 145-6.degree. (dil. EtOH). A mixt. of 12 g. I, 90 ml. Ac₂O and 90 ml. HC(OEt)₃ was refluxed 7 hrs. and the soln. cooled to yield 13 g. .alpha.-ethoxymethylhomophthalimide (VII), m. 236-9.degree. (dil. MeOH). Hydrogenation of 5 g. VII in 200 ml. EtOH in the presence of 0.2 g. platinum oxide at atm. pressure yielded 3.4 g. .alpha.-methylhomophthalimide, m. 140-2.degree. (dil. EtOH). H₂O₂ (1 ml., 30%) was added to a soln. of 1.5 g. III in 6 ml. HOAc, after the exothermic reaction had subsided, 1 ml. concd. HCl added dropwise, the mixt. kept 1 hr. and treated with ice water to yield 1.5 g. 2-methyl-3,4-dichlorohomophthalimide (VIII), m. 137-8.degree. (EtOH). The structure of VIII was confirmed by N.M.R. spectra. A mixt. of 3 g. 3-chloro-N-methyl-homophthalimide (IX), 30 ml. dioxane and 8.4 ml. concd. HCl was heated at 85.degree. (oil-bath), treated dropwise with 9 ml. H₂O₂, and cooled to yield 3.7 g. .alpha.,.alpha.-dichloro-N-methylhomophthalimide, m. 149-51.degree. (dil. EtOH). Use of 1 g. 3,4-dichloro-N-methylisocarbostyryl in place of IX as above yielded 1 g. .alpha.,.alpha.-dichloro-N-methylhomophthalimide, m. 149-50.degree.. Similarly, chlorination of 10 g. I yielded 13.6 g. .alpha.,.alpha.-dichlorohomophthalimide (X), m. 164-8.degree. (dil. EtOH). The reaction

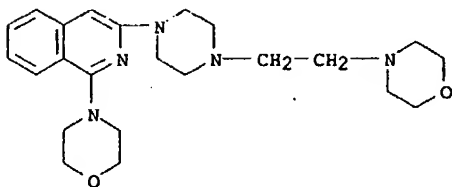
of X with substituted anilines (1 hr. reflux) yielded the corresponding phthalonimide anils (XI). The following XI were prepd. (R, R1, m.p., and % yield given): H, 4-diethylaminophenyl, 195-6.degree. (C6H6-hexane), 64.3; H, 4-methoxyphenyl, 204-7.degree. (C6H6-hexane), 89.3; H, 4-chlorophenyl, 267-9.degree. (C6H6-hexane), 91.2; Me, NH2, 160-2.degree. (HOAc-H2O), 94.0; Me, NHPH, 176-7.degree. (EtOH-H2O), 71.5. X and XI reacted with o-phenylenediamine (45 hrs. reflux in C6H6) to yield, resp., quinoxalinoisocarbostyrils (XIIa), m. 265.degree. (HOAc) and XIIb, 203-5.degree. (HOAc). Secondary bases like morpholine reacted with X to give iminium salts (XIII), which were very hygroscopic and on catalytic hydrogenation led to hydrogenolytic cleavage to yield homophthalimides, while redn. with NaBH4 gave rise to water-sol. compds., from which no definite product could be isolated.

IT 18630-91-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 18630-91-6 HCAPLUS

CN Isoquinoline, 1-morpholino-3-[4-(2-morpholinoethyl)-1-piperazinyl]- (8CI)
(CA INDEX NAME)



L30 ANSWER 33 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1968:410341 HCAPLUS

DN 69:10341

TI Synthesis of biologically interesting isoquinolines

AU Nair, Mohann D.

CS Ciba Res. Centre, Bombay, India

SO Symp. Syn. Heterocycl. Compounds Physiol. Interest, Hyderabad, India, 1964
(1966), Meeting Date 1964, 107-13

CODEN: 16VOA6

DT Conference

LA English

GI For diagram(s), see printed CA Issue.

AB The Gabriel rearrangement of 4,4-dimethylhomophthalimide with POCl3 gave as the major product 1-chloro-3-chloromethyl-4-methylisoquinoline (I), and as byproducts, 1-chloro-3-methyl-4-chloromethylisoquinoline, 1-chloro-3,4-dimethylisoquinoline, .alpha.-chloromethylhomophthalimide, and N-(3,4-dimethyl-1-isoquinolyl)-4,4-dimethylhomophthalimide. Nitration of I gave a 5-nitro deriv., which readily reacted with primary and secondary amines. An optimum yield of 62% in the rearrangement was obtained by adding a small amt. of water to the reaction mixt. prior to heating to 200.degree.. Rearrangement of the corresponding 4,4-diethyl- and 4,4-dipropylhomophthalimides gave 1-chloro-3-(.beta.-chloroethyl)-4-ethylisoquinoline and 1-chloro-3-(2-chloropropyl)-4-propylisoquinoline, resp. 4-Alkyl-4-benzylhomophthalimides were prepd. by hydrogenating 4-benzylidenhomophthalimide over PtO2, and then treating with an alkyl iodide. The 4-Me, 4-Et, and 4-Pr derivs. obtained were treated with POCl3, giving C-debenzylation in all cases. The 4-Me compd. gave 1,3-dichloro-4-methylisoquinoline, while the 4-Et and 4-Pr derivs. gave isocarbostyryl derivs. Some of the compds. showed borderline biol. activities. The most active was 4-methyl-1-morpholino-3-(morpholinomethyl)-isoquinoline, which showed high antitussive activity and was well tolerated.

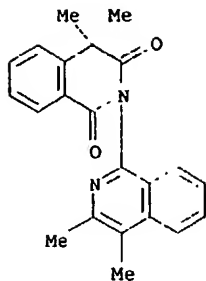
IT 15896-93-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 15896-93-2 HCAPLUS

CN 1,3(2H,4H)-Isoquinolinedione, 2-(3,4-dimethyl-1-isoquinolyl)-4,4-dimethyl-

(8CI) - (CA INDEX NAME)



L30 ANSWER 34 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1967:482075 HCAPLUS

DN 67:82075

TI Isoquinolines. I. Rearrangement of .alpha.,.alpha.-dialkyl-homophthalimides to 1-chloro-3,4-dialkylisoquinoline derivatives

AU Marquardt, Fritz H.; Nair, Mohann D.

CS CIBA, Goregaon, India

SO Helv. Chim. Acta (1967), 50(6), 1469-76

CODEN: HCACAV

DT Journal

LA German

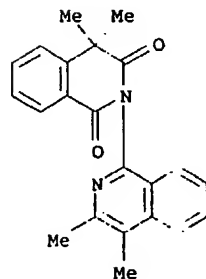
GI For diagram(s), see printed CA Issue.

AB On reinvestigation of the reaction of wet POCl_3 with .alpha.,.alpha.-dimethylhomophthalimide, 1-chloro-3-chloromethyl-4-methylisoquinoline (I) and 1-chloromethyl-3-methylisoquinoline were isolated as the main products (aside from some substances resulting from a redox disproportionation). The production of these two substances can be rationalized by assuming a mechanism in which the rearrangement product is a protonated deriv. of 3,4-dimethylene-3,4-dihydroisoquinoline. With .alpha.,.alpha.-diethylhomophthalimide, the only isolated product was a deriv. of 1-chloro-3,4-diethylisoquinoline, with a Cl atom in .beta.-position to one of the Et groups, while with .alpha.-methyl-.alpha.-benzylhomophthalimide, the isolated product was 1,3-dichloro-4-methylisoquinoline, i.e. elimination occurred instead of rearrangement. Also these results are in agreement with the proposed mechanism.

IT 15896-93-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 15896-93-2 HCAPLUS

CN 1,3(2H,4H)-Isoquinolinedione, 2-(3,4-dimethyl-1-isoquinolyl)-4,4-dimethyl-
(8CI) (CA INDEX NAME)

L30 ANSWER 35 OF 35 HCAPLUS COPYRIGHT 2001 ACS

AN 1967:421848 HCAPLUS

DN- 67:21848
 TI New antitussive isoquinoline derivatives
 PA CIBA Ltd.
 SO Fr. M., 10 pp.
 CODEN: FMXXAJ
 DT Patent
 LA French
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|------------|------|----------|-----------------|------|
| PI | FR 3782 | | 19660131 | | <-- |
| PRAI | CH | | 19630121 | | |
| | CH | | 19640121 | | |

GI For diagram(s), see printed CA Issue.

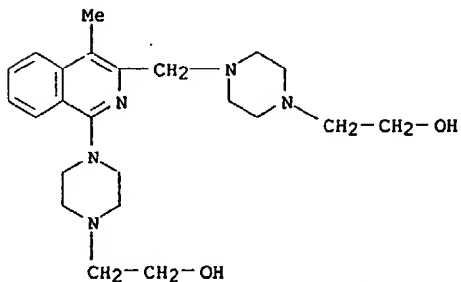
AB New antitussive isoquinoline derivs. with general formula (I) are prepd. A mixt. of 9 g. 1-chloro-3-chloromethyl-4-methylisoquinoline (II) and 40 cc. piperidine (III) is heated in a sealed tube 8 hrs. at 150.degree., the reaction mixt. concd. in vacuo, treated with water, and extd. with CH₂Cl₂, the ext. dried and evapd. to dryness, and the residue in CHCl₃ passed through activated alumina to give 4-methyl-1-piperidino-3-piperidinomethylisoquinoline, m. 111.degree. (water-EtOH). The following products are prepd. in a similar way (starting materials, reaction time, reaction temp., final product, m.p., derivs., and m.p. given): II (9 g.), pyrrolidine (40 cc.), 8 hrs., 150.degree., 4-methyl-1-(1-pyrrolidinyl)-3-(1-pyrrolidinylmethyl)isoquinoline, -, hydrochloride, 239.degree.; II (8 g.), N-methylpiperazine (IV) (50 cc.), 8 hrs., 150.degree., 4-methyl-1-(N'-methylpiperazino)-3-(N'-methylpiperazinomethyl)isoquinoline, 110-11.degree., hydrochloride, 238.degree.; II (8 g.), N-(.beta.-hydroxyethyl)piperazine (40 cc.), 8 hrs., 150.degree., 4-methyl-1-[N'-(.beta.-hydroxyethyl)piperazino]-3-[N'-(.beta.-hydroxyethyl)piperazinomethyl]isoquinoline, 112.degree., hydrochloride, 262.degree. (decomn.); II (6 g.), Et₂NH (15 cc.), 8 hrs., 150.degree., 4-methyl-1-diethylamino-3-diethylaminomethylisoquinoline, -, dimaleate, 109-11.degree.; II (4.5 g.), ethanolamine (15 cc.), 3 hrs., 130.degree., 4-methyl-1-(.beta.-hydroxyethylamino)-3-(.beta.-hydroxyethylaminomethyl)isoquinoline, -, hydrochloride, 252-4.degree.; II (5 g.), N-carbethoxypiperazine (V) (20 cc.), 6 hrs., 140.degree., 4-methyl-1-(N'-carbethoxypiperazino)-3-(N'-carbethoxypiperazinomethyl)isoquinoline, 90-2.degree., -, -; II (5 g.), 2-methylpiperidine (20 cc.), 6 hrs., 140.degree., 1-chloro-4-methyl-3-(2-methylpiperidinomethyl)isoquinoline (VI), 106-8.degree., -, -; VI (6 g.), morpholine (VII) (20 cc.), 14 hrs., 170.degree., 4-methyl-1-morpholino-3-(2-methylpiperidinomethyl)isoquinoline, 103-4.degree., -, -; 1-chloro-3-chloromethyl-4-methyl-5-nitroisoquinoline (VIII) (2 g.), VII (10 cc.), 2 hrs., 120.degree., 4-methyl-1-morpholino-3-morpholinomethyl-5-nitroisoquinoline (IX), 145-6.degree., -, -; VIII (2.5 g.), III (10 cc.), 2.5 hrs., 80.degree., 4-methyl-5-nitro-1-piperidino-3-piperidinomethylisoquinoline, 104-6.degree., -, -; VIII (2.5 g.), p-anisidine (4.55 g.), EtOH (80 cc.), 4 hrs., reflux, 1-p-anisidino-3-p-anisidinomethyl-4-methyl-5-nitroisoquinoline, 183-5.degree., -, -; 1,7-dichloro-3-chloromethyl-4-methylisoquinoline (X) (4 g.), VII (50 cc.), 4 hrs., reflux, 7-chloro-4-methyl-1-morpholino-3-morpholinomethylisoquinoline, 120.degree., maleate, -, -; VIII (5 g.), III (8 cc.), EtOH (75 cc.), 1 hr., reflux, 1-chloro-4-methyl-5-nitro-3-piperidinomethylisoquinoline, 67-79.degree., -, -; II (4.5 g.), III (15 cc.), 2 hrs., 80.degree., 1-chloro-4-methyl-3-piperidinomethylisoquinoline, 79-80.degree., -, -; VIII (3.5 g.), IV (2.58 g.), EtOH (100 cc.), 2 hrs., reflux, 1-chloro-3-(N'-methylpiperazinomethyl)-4-methyl-5-nitroisoquinoline, 173-5.degree., -, -; VIII (4 g.), V (10 cc.), EtOH (75 cc.), 1 hr., reflux, 1-chloro-3-(N'-carbethoxypiperazinomethyl)-4-methyl-5-nitroisoquinoline, 127-8.degree., -, -; VIII (2.71 g.), diethanolamine (4.5 g.), dioxane (50 cc.), 3 hrs., reflux, 1-chloro-3-[bis(.beta.-hydroxyethyl)aminomethyl]-4-methyl-5-nitroisoquinoline, 110-12.degree., -, -; II (5.0 g.), 4-methylpiperidine (5.5 cc.), 2 hrs., 80.degree., 1-chloro-3-(4-methylpiperidinomethyl)-4-methylisoquinoline, 83-5.degree., -, -; II (5.0 g.), concd. aq. NH₃ (80 cc.), hydrated CuSO₄ (1.0 g.), 30 hrs., 140.degree., bis(1-chloro-4-methyl-3-isoquinolylmethyl)amine, 131-2.degree., -, -; II (5.0 g.), N-(.gamma.-aminopropyl)morpholine (6.5 g.), 2 hrs., 100.degree., N,N-bis(1-chloro-4-methyl-3-isoquinolylmethyl)-N-

(.gamma.-morpholinopropyl)amine, 110-12.degree., -, -. Some starting materials and other products are prep'd. as follows: II (6 g.) is added slowly with stirring to a cooled mixt. of 15 cc. concd. H2SO4 and 15 cc. fuming HNO3 and the mixt. stirred 1.5 hrs. below 5.degree. and poured over a mixt. of ice and water to ppt. VIII, m 104-5.degree. (EtOH). A mixt. of 4 g. IX, 0.3 g. Pd-C and 150 cc. 95% EtOH is hydrogenated 1.5 hrs. to give 5-amino-4-methyl-1-morpholino-3-morpholinomethylisoquinoline (XI), m. 134-5.degree. (EtOH). A soln. of 1.6 g. NaNO2 in 5 cc. water is added slowly to a cooled soln. of 8 g. XI in 6 cc. concd. HCl and 6 cc. water, the resulting soln. poured into a cooled soln. of Cu2Cl2 (prepd. from 8 g. CuSO4) and then is heated at 60.degree., and the ppt. suspended in 25 cc. water, alkalinized, and extd. with CHCl3 to give 5-chloro-4-methyl-1-morpholino-3-morpholinomethylisoquinoline, m. 104.degree..

4,4-Dimethylhomophthalimide (15 g.) is added slowly with stirring to a cooled (-10.degree.) mixt. of 30 cc. concd. H2SO4 and 30 cc. fuming HNO3 and the mixt. stirred 1 hr. below 20.degree. and poured over a mixt. of ice and water to ppt. 4,4-dimethyl-7-nitrohomophthalimide (XII), m. 209-11.degree. (EtOH). A mixt. of 23.4 g. XII, 0.5 g. Pd-C, and 200 cc. MeOH is hydrogenated at 50.degree./3.4 atm. approx. 1.5 hrs. to give 4,4-dimethyl-7-aminohomophthalimide (XIII), m. 176-9.degree. (MeOH). Concd. H2SO4 (26 g.) is added slowly to a mixt. of 20 g. XIII and 90 cc. water, and cooled at 0.degree., 8.4 g. NaNO2 in 24 cc. water added slowly to it, and this mixt. is added slowly to a soln. of Cu2Cl2 (prepd. from 33.4 g. CuSO4), and the mixt. heated at 60.degree. 30 min., cooled, dild. with water, and extd. with CHCl3 to give 4,4-dimethyl-7-chlorohomophthalimide (XIV), m. 200.degree. (EtOH). A mixt. of 10 g. XIV, 0.5 cc. water, and 40 cc. POCl3 is heated in a sealed tube at 200.degree. 5 hrs. to give X, m. 135.degree. (hexane-CHCl3). Some recipes for the prepn. of pharmacol. compns. are also given.

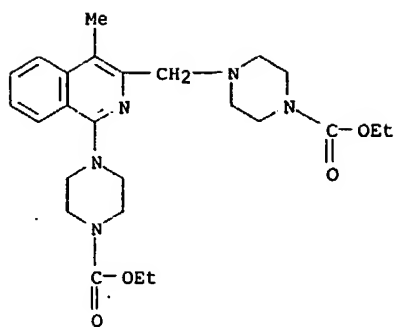
IT 14576-16-0P 14576-17-1P 14577-67-4P
 14601-03-7P 14601-04-8P 14601-07-1P
 14657-46-6P 14657-48-8P 14657-49-9P
 14657-50-2P 14657-51-3P 14657-52-4P
 14825-52-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 14576-16-0 HCAPLUS
 CN 1-Piperazineethanol, 4-[[1-[4-(2-hydroxyethyl)-1-piperazinyl]-4-methyl-3-isoquinoliny]methyl]- (9CI) (CA INDEX NAME)



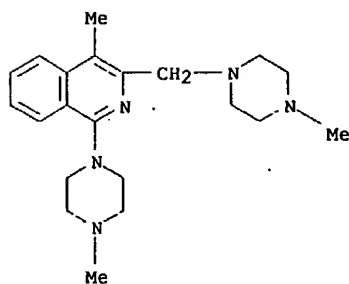
RN 14576-17-1 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[1-[4-(ethoxycarbonyl)-1-piperazinyl]-4-methyl-3-isoquinoliny]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

PATEL 09/852,850



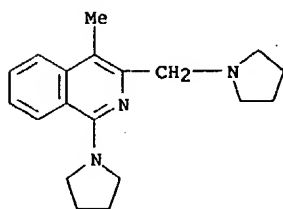
RN 14577-67-4 HCAPLUS

CN Isoquinoline, 4-methyl-1-((4-methyl-1-piperazinyl)-3-[(4-methyl-1-piperazinyl)methyl]- (8CI, 9CI) (CA INDEX NAME)



RN 14601-03-7 HCAPLUS

CN Isoquinoline, 4-methyl-1-(1-pyrrolidinyl)-3-(1-pyrrolidinylmethyl)-, hydrochloride (8CI) (CA INDEX NAME)

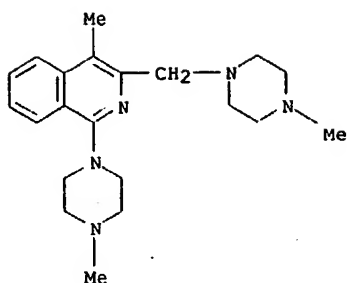


●x HCl

RN 14601-04-8 HCAPLUS

CN Isoquinoline, 4-methyl-1-((4-methyl-1-piperazinyl)-3-[(4-methyl-1-piperazinyl)methyl]-, hydrochloride (8CI) (CA INDEX NAME)

PATEL 09/852,850

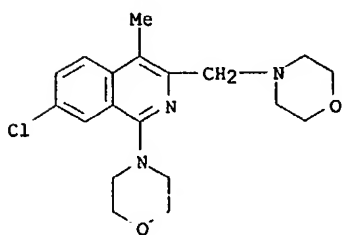


●x HCl

RN 14601-07-1 HCAPLUS
CN Isoquinoline, 7-chloro-4-methyl-1-morpholino-3-(morpholinomethyl)-,
maleate (8CI) (CA INDEX NAME)

CM 1

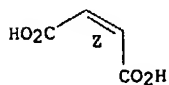
CRN 47438-17-5
CMF C19 H24 Cl N3 O2



CM 2

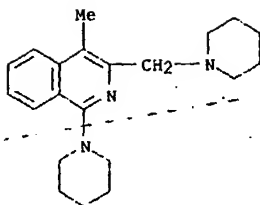
CRN 110-16-7
CMF C4 H4 O4
CDES 2:2

Double bond geometry as shown.



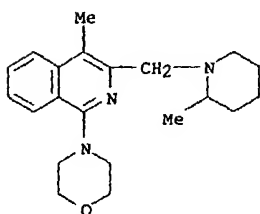
RN 14657-46-6 HCAPLUS
CN Isoquinoline, 4-methyl-1-(1-piperidinyl)-3-(1-piperidinylmethyl)- (9CI)
(CA INDEX NAME)

PATEL 09/852,850



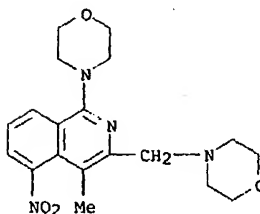
RN 14657-48-8 HCAPLUS

CN Isoquinoline, 4-methyl-3-[(2-methyl-1-piperidinyl)methyl]-1-(4-morpholinyl)- (9CI) (CA INDEX NAME)



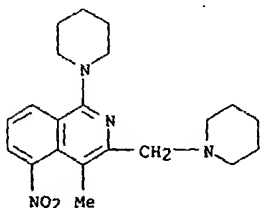
RN 14657-49-9 HCAPLUS

CN Isoquinoline, 4-methyl-1-(4-morpholinyl)-3-(4-morpholinylmethyl)-5-nitro- (9CI) (CA INDEX NAME)



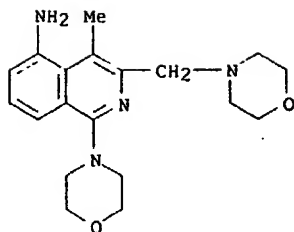
RN 14657-50-2 HCAPLUS

CN Isoquinoline, 4-methyl-5-nitro-1-(1-piperidinyl)-3-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)

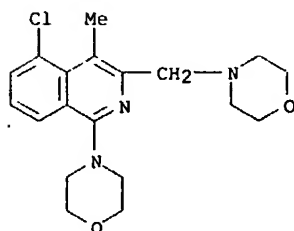


RN 14657-51-3 HCAPLUS

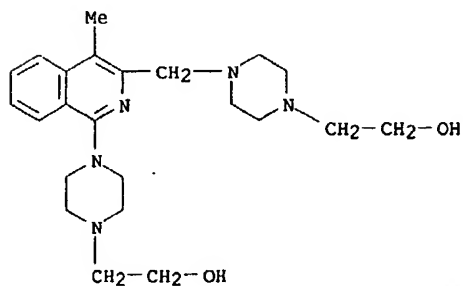
CN 5-Isoquinolinamine, 4-methyl-1-(4-morpholinyl)-3-(4-morpholinylmethyl)- (9CI) (CA INDEX NAME)



RN 14657-52-4 HCAPLUS
 CN Isoquinoline, 5-chloro-4-methyl-1-(4-morpholinyl)-3-(4-morpholinylmethyl)-
 (9CI) (CA INDEX NAME)



RN 14825-52-6 HCAPLUS
 CN 1-Piperazineethanol, 4,4'-(methylene(4-methyl-3,1-isoquinolinediyl))di-,
 hydrochloride (8CI) (CA INDEX NAME)



●x HCl